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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS	4	Jul 15	Data from 1960-1976 added to RDISCLOSURE
NEWS	5	Jul 21	Identification of STN records implemented
NEWS	6	Jul 21	Polymer class term count added to REGISTRY
NEWS	7	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS	8	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	9	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	10	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS	11	AUG 15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS	12	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS	13	AUG 15	TEMA: one FREE connect hour, per account, in September 2003
NEWS	14	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	15	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	16	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	17	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	18	SEP 22	DIPPR file reloaded

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:49:03 ON 23 SEP 2003

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:49:08 ON 23 SEP 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 SEP 2003 HIGHEST RN 590345-44-1
DICTIONARY FILE UPDATES: 21 SEP 2003 HIGHEST RN 590345-44-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

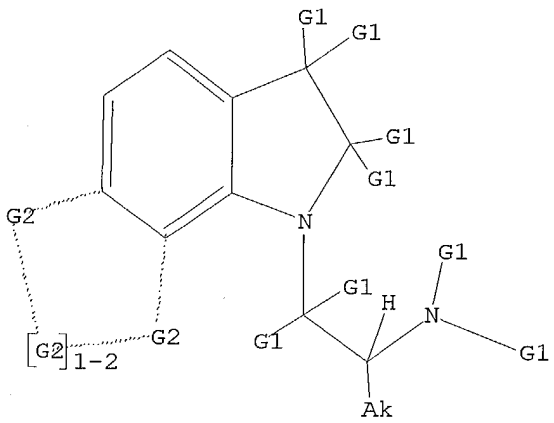
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10009567.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak
G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 08:49:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   2172 TO ITERATE

 46.0% PROCESSED    1000 ITERATIONS                                 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        40645 TO    46235
PROJECTED ANSWERS:               2 TO      211

L2             2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:49:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  42856 TO ITERATE

100.0% PROCESSED   42856 ITERATIONS                               34 ANSWERS
SEARCH TIME: 00.00.03

L3            34 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             148.15     148.36

FILE 'CAPLUS' ENTERED AT 08:49:35 ON 23 SEP 2003
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FILE COVERS 1907 - 23 Sep 2003  VOL 139 ISS 13
FILE LAST UPDATED: 22 Sep 2003  (20030922/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4             1 L3

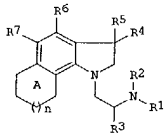
=> d ibib abs hitstr
```

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:137191 CAPLUS
DOCUMENT NUMBER: 134:193338
TITLE: Preparation and use of condensed indoline derivatives and their use as 5-HT, in particular 5-HT2c, receptor ligands
INVENTOR(S): Roffey, Jonathan Richard Anthony; Davidson, James Edward Paul; Mansell, Howard Langham; Hamlyn, Richard John; Adams, David Reginald
PATENT ASSIGNEE(S): Vernalis Research Limited, UK
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

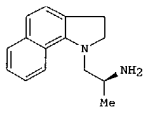
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012602	A1	20010222	WO 2000-GB3008	20000804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013314	A	20020402	BR 2000-13314	20000804
EP 1202964	A1	20020508	EP 2000-951696	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003507366	T2	20030225	JP 2001-517500	20000804
ZA 2001010218	A	20021212	ZA 2001-10218	20011212

PRIORITY APPLN. INFO.: GB 1999-18965 A 19990811
WO 2000-GB3008 W 20000804

OTHER SOURCE(S): MARPAT 134:193338
GI



I



II

AB Novel compds. I and use thereof are claimed [wherein; R1, R2 are H, alkyl;

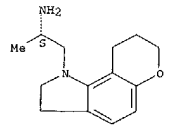
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

R3 is alkyl; R4, R5 are H, alkyl; R6, R7 are H, halo, OH, alkyl, aryl, NH2, alkylamino, dialkylamino, alkoxy, aryloxy, alkylthio, alkylsulfoxyl, alkylsulfonyl, nitro, carbonitrile, carbo-alkoxy, carbo-aryloxy and carboxyl; A is a 5- or 6-membered (un)satd. (hetero)cycle (n is 1 or 2)]. Eleven examples are given. The synthesis of II proceeded by alkylation of benz[g]indole with the corresponding N-tert-butoxycarbonyl-protected sidechain. The resulting indole was converted to the indoline with sodium cyanoborohydride in acetic acid. Deprotection with trifluoroacetic acid furnished II as an oil and isolation of a solid as its hemi-fumarate deriv. Compds. I showed affinity for 5-HT2A, 5-HT2B and 5-HT2C receptors in a CHO cell line. Compd. II had a Ki of 107 nM in a radiolabeled [3H]-5-HT assay. Treatment of disorders of the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus, and sleep apnea, and particularly the treatment of obesity are claimed uses of compds. I.

IT **327182-96-7P 327182-97-8P 327182-99-0P**
327183-00-6P 327183-03-9P 327183-07-3P
327183-08-4P 327183-09-5P 327183-10-8P
327183-11-9P 327183-12-0P 327183-13-1P
327183-15-3P 327183-16-4P 327183-17-5P
327183-18-6P 327185-03-5P 327185-04-6P
327185-05-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)

RN 327182-96-7 CAPLUS
CN Pyrano[2,3-g]indole-1(7H)-ethanamine, 2,3,8,9-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



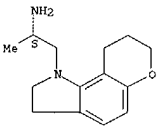
RN 327182-97-8 CAPLUS
CN Pyrano[2,3-g]indole-1(7H)-ethanamine, 2,3,8,9-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327182-96-7
CMF C14 H20 N2 O

Absolute stereochemistry.

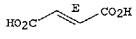
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

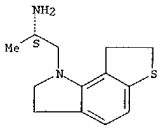
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327182-99-0 CAPLUS
CN 1H-Thieno[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



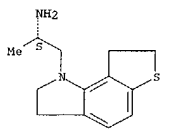
RN 327183-00-6 CAPLUS
CN 1H-Thieno[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327182-99-0
CMF C13 H18 N2 S

Absolute stereochemistry.

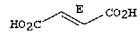
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

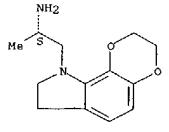


RN 327183-03-9 CAPLUS
CN 9H-1,4-Dioxino[2,3-g]indole-9-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-02-8
CMF C13 H18 N2 O2

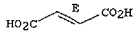
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



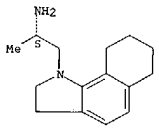
RN 327183-07-3 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3,6,7,8,9-hexahydro-.alpha.-methyl-,

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-06-2
CMF C15 H22 N2

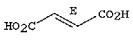
Absolute stereochemistry.



CM 2

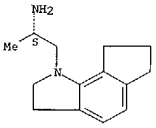
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-08-4 CAPLUS
CN Cyclopent[g]indole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

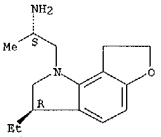


RN 327183-09-5 CAPLUS
CN Cyclopent[g]indole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-08-4

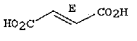
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

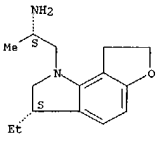
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-12-0 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-13-1 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

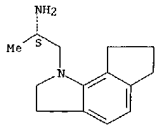
CM 1

CRN 327183-12-0
CMF C15 H22 N2 O

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C14 H20 N2

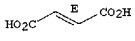
Absolute stereochemistry.



CM 2

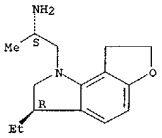
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-10-8 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



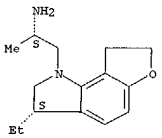
RN 327183-11-9 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 327183-10-8
CMF C15 H22 N2 O

Absolute stereochemistry.

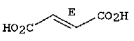
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

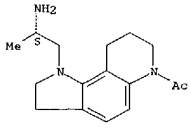


RN 327183-15-3 CAPLUS
CN 1H-Pyrrolo[2,3-f]quinoline-1-ethanamine, 6-acetyl-2,3,6,7,8,9-hexahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-14-2
CMF C16 H23 N3 O

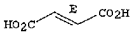
Absolute stereochemistry.



CM 2

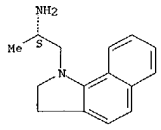
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



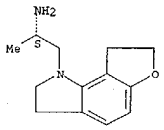
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 327183-16-4 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-17-5 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

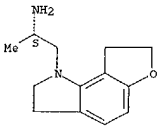
Absolute stereochemistry.



●2 HCl

RN 327183-18-6 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

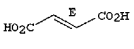


L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

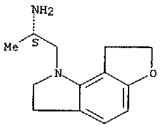


RN 327185-05-7 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-18-6
CMF C13 H18 N2 O

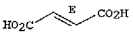
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT **327183-20-0P 327183-28-8P 327183-40-4P**
327183-52-8P 327183-58-4P 327183-60-8P
327183-63-1P 327183-67-5P 327183-68-6P
327183-72-2P 327185-07-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)
RN 327183-20-0 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

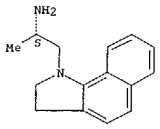
Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 327185-03-5 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-16-4
CMF C15 H18 N2

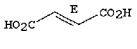
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

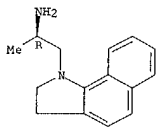


RN 327185-04-6 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

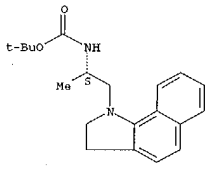
CM 1

CRN 327183-22-2
CMF C15 H18 N2

Absolute stereochemistry.

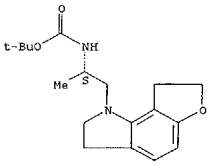


L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



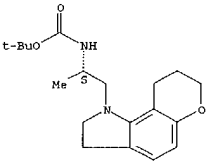
RN 327183-28-8 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-40-4 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,8,9-tetrahydropyrano[2,3-g]indol-1(7H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

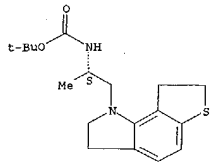
Absolute stereochemistry.



RN 327183-52-8 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-thieno[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

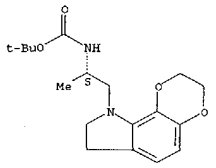
Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



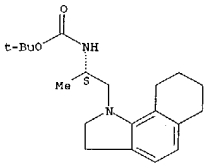
RN 327183-58-4 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-9H-1,4-dioxino[2,3-g]indol-9-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



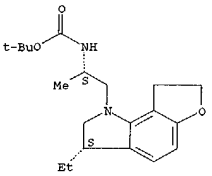
RN 327183-60-8 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3,6,7,8,9-hexahydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



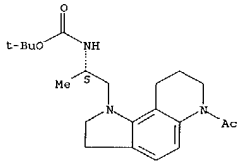
RN 327183-63-1 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(3,6,7,8-tetrahydrocyclopent[g]indol-1(2H)-

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



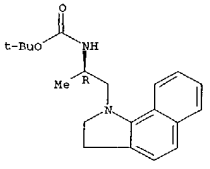
RN 327183-72-2 CAPLUS
CN Carbamic acid, [(1S)-2-(6-acetyl-2,3,6,7,8,9-hexahydro-1H-pyrrolo[2,3-f]quinolin-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327185-07-9 CAPLUS
CN Carbamic acid, [(1R)-2-(2,3-dihydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

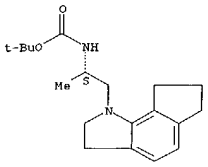
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

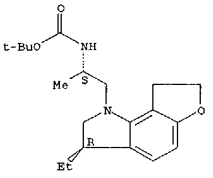
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-67-5 CAPLUS
CN Carbamic acid, [(1S)-2-[(3R)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-68-6 CAPLUS
CN Carbamic acid, [(1S)-2-[(3S)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	8.71	157.07

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.65	-0.65

STN INTERNATIONAL LOGOFF AT 08:55:27 ON 23 SEP 2003

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS 4	Jul 15	Data from 1960-1976 added to RDISCLOSURE
NEWS 5	Jul 21	Identification of STN records implemented
NEWS 6	Jul 21	Polymer class term count added to REGISTRY
NEWS 7	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS 8	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 9	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 10	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS 11	AUG 15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS 12	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS 13	AUG 15	TEMA: one FREE connect hour, per account, in September 2003
NEWS 14	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS 15	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS 16	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS 17	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS 18	SEP 22	DIPPR file reloaded

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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FILE 'HOME' ENTERED AT 11:07:53 ON 24 SEP 2003

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COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:08:00 ON 24 SEP 2003
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DICTIONARY FILE UPDATES:  23 SEP 2003  HIGHEST RN 591719-82-3

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Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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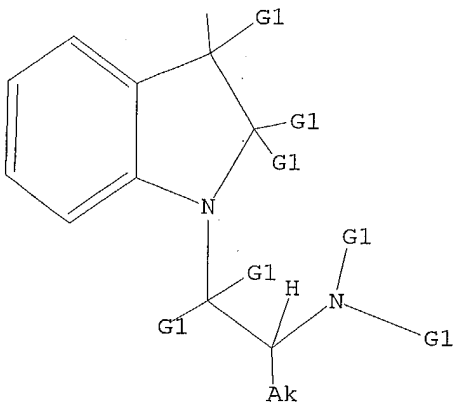


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G1 H,Ak


Structure attributes must be viewed using STN Express query preparation.


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12.2% PROCESSED 1000 ITERATIONS 3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
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PROJECTED ANSWERS: 193 TO 787

L2 3 SEA SSS SAM L1

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FULL SEARCH INITIATED 11:08:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 162849 TO ITERATE

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SEARCH TIME: 00.00.10

L3 291 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.55 148.76

FILE 'CAPLUS' ENTERED AT 11:08:58 ON 24 SEP 2003
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FILE COVERS 1907 - 24 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 23 Sep 2003 (20030923/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 17 L3

=> d ibib abs hitstr 1-17

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:356248 CAPLUS
DOCUMENT NUMBER: 138:368754
TITLE: Preparation of N-aminoacetyl-substituted pyrrolidines as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Boehringer, Markus; Hunziker, Daniel; Kuehne, Holger; Loeffler, Bernd Michael; Sarabu, Ramakanth; Wessel, Hans Peter
PATENT ASSIGNEE(S): F. Hoffmann-La-Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

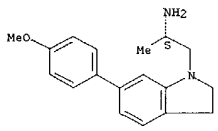
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037327	A1	20030508	WO 2002-EP11711	20021018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003130281	A1	20030710	US 2002-269519	20021014
PRIORITY APPLN. INFO.:			EP 2001-125338 A	20011026
			EP 2002-18227 A	20020821
OTHER SOURCE(S):			MARPAT 138:368754	

GI

AB The present invention relates to N-aminoacetyl-substituted pyrrolidines related compds. (shown as I; variables defined below; e.g. (2S)-1-[[1,2,3,4-Tetrahydronaphthalen-1-ylamino]acetyl]pyrrolidine-2-carbonitrile) and pharmaceutically acceptable salts thereof. The compds. are useful for the treatment and/or prophylaxis of diseases which are assocd. with dipeptidyl peptidase IV (DPP IV), such as diabetes, particularly noninsulin dependent diabetes mellitus, and impaired glucose tolerance. For I; R1 is H or CN; R2 is C(R3lR4)(CH2)nR5, C(R3,R4)CH2NHR6, C(R3,R4)CH2OR7, or (un)substituted tetralinyl, tetrahydroquinolinyl or tetrahydroisoquinolinyl; R3 is H, lower-alkyl, benzyl, hydroxybenzyl or indolylmethylene; R4 is H or lower-alkyl, or R3 and R4 are bonded to each other to form a ring together with the C atom to which they are attached and -R3-R4- is -(CH2)2-5. R5 is (un)substituted 5-membered heteroaryl,

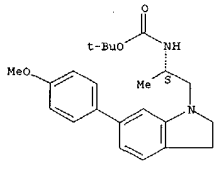
L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of N-aminoacetyl-substituted pyrrolidines as dipeptidyl peptidase IV inhibitors)
RN 259858-66-7 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-(4-methoxyphenyl)-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-19-0 CAPLUS
CN Carbamic acid, [(1S)-2-[2,3-dihydro-6-(4-methoxyphenyl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

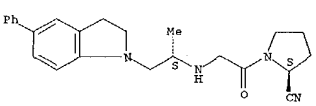
Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

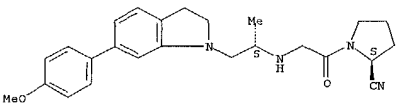
L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
bi- or tricyclic heterocyclyl, or aminophenyl; R6 is (un)substituted pyridinyl, pyrimidinyl, 5-membered heteroaryl or bi- or tricyclic heterocyclyl; R7 is (un)substituted aminophenyl, naphthyl or quinolinyl; X is C(R8,R9) or S; R8 and R9 = H or lower-alkyl, n = 0-2; addnl. details are given in the claims. Five pharmaceutical formulations are tabulated. IC50 values for inhibition of dipeptidyl peptidase IV are tabulated for 6 examples of I; e.g. 0.001 .mu.M for (2S)-1-[[[1-dimethyl-2-(5-methyl-2-m-tolyl-1H-imidazol-4-yl)ethyl]amino]acetyl]pyrrolidine-2-carbonitrile. Example preps. are given for 209 compds. I; for example, (2S)-1-[[1,2,3,4-tetrahydronaphthalen-1-ylamino]acetyl]pyrrolidine-2-carbonitrile was obtained from 1-amino-1,2,3,4-tetrahydronaphthalene and (2S)-1-chloroacetylpyrrolidine-2-carbonitrile in THF.
IT **521266-23-9P**, (2S)-1-[[[(1S)-1-Methyl-2-(5-phenyl-2,3-dihydroindol-1-yl)ethyl]amino]acetyl]pyrrolidine-2-carbonitrile **521266-35-3P**, (2S)-1-[[[(1S)-2-(6-(4-Methoxyphenyl)-2,3-dihydroindol-1-yl]-1-methylethyl]amino]acetyl]pyrrolidine-2-carbonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of N-aminoacetyl-substituted pyrrolidines as dipeptidyl peptidase IV inhibitors)
RN 521266-23-9 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[(1S)-2-(2,3-dihydro-5-phenyl-1H-indol-1-yl)-1-methylethyl]amino]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 521266-35-3 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[(1S)-2-[2,3-dihydro-6-(4-methoxyphenyl)-1H-indol-1-yl]-1-methylethyl]amino]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **259858-66-7P**, [(S)-2-[6-(4-Methoxyphenyl)-2,3-dihydroindol-1-yl]-1-methylethyl]amine **259860-19-0P**, (S)-[2-[6-(4-Methoxyphenyl)-2,3-dihydroindol-1-yl]-1-methylethyl]carbamic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:154427 CAPLUS
DOCUMENT NUMBER: 138:221468
TITLE: Preparation of indolylethylaminopropanediol aryl ethers as .beta.3 adrenergic agonists
INVENTOR(S): Bastian, Jolie Anne; Evers, Britta; Finley, Don Richard; He, John Xiaoqiang; Jesudason, Cynthia Darshini; Karanjawala, Rushad E.; Ratz, Andrew Michael; Rocco, Vincent Patrick; Ruehter, Gerd; Sall, Daniel Jon; Schotten, Theo; Spinazze, Patrick Gianpietro; Stevens, Freddie Craig; Trankle, William George; Werner, John Arnold
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016307	A1	20030227	WO 2002-US21317	20020806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2001-312275P P	20010814
OTHER SOURCE(S):			MARPAT 138:221468	

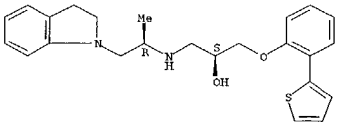
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; dotted line = optional double bond; m = 0-2; A1, A2, A3 = C, N; .ltoreq.1 of A1, A2, A3 = N; D = NR8, O, S; Het = (substituted) (benzo-fused) 5-6 membered heterocyclyl; R1, R2 = H, halo, OH, alkyl, alkoxy, haloalkyl, alkylsulfonyl; R3 = H, alkyl; R4 = H, cyano, alkyl, CO2N(R9)2, CO2R9; R5 = H, alkyl; R4, R5, R8 may form bonds with X2; R6 = halo, OH, cyano, alkyl, haloalkyl, alkoxy; R7 = H, CO2R10, CON(R10)2, CH:CHR11, N(R10)2, (substituted) Ph, heterocyclyl; R8 = H, alkyl; R9, R10 = H, alkyl, Ph; N(R9)2, N(R10)2 = pyrrolidinyl, piperidinyl, hexamethyleneimino; R11 = cyano, heterocyclyl, (substituted) Ph, etc.; X = null, OCH2, SCH2; X1 = null, (CR19R20)q; X2 = null, CO, CONR21, NR21CO; q = 1-5; R19, R20 = H, alkyl; CR19R20 = carbocyclyl; R21 = H alkyl], were prepd. Thus, epoxide (II), amine (III), and ytterbium trifluoromethanesulfonate hydrate were heated in MeCN at 80.degree. for 20-60 h to give title compd. (IV). IV showed .beta.3 intrinsic activity Emax (SEM) = 25.6 (1.8) relative to isoproterenol. I are capable of increasing lipolysis and energy expenditure in cells and, therefore, is useful, e.g., for treating Type 2 diabetes and/or obesity.
IT **500705-03-3P 500705-05-5P 500706-03-6P**

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
500707-66-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolylethylaminopropanediol aryl ethers as .beta.3 adrenergic agonists)
RN 500705-03-3 CAPLUS
CN 2-Propanol, 1-[[(1R)-2-(2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-3-[2-(2-thienyl)phenoxy]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

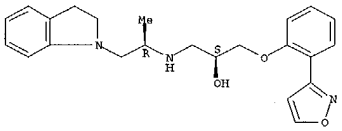
Absolute stereochemistry.



● HCl

RN 500705-05-5 CAPLUS
CN 2-Propanol, 1-[[(1R)-2-(2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-3-[2-(3-isoxazolyl)phenoxy]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

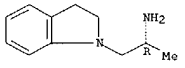


● HCl

RN 500706-03-6 CAPLUS
CN 2-Propanol, 1-[[(1S)-2-(6-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-3-[2-(2-thienyl)phenoxy]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

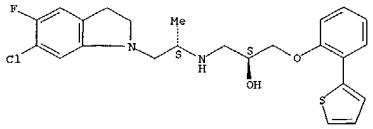
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
adrenergic agonists)
RN 500138-77-2 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

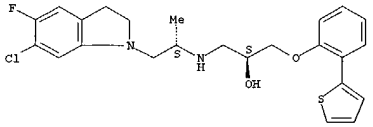
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Absolute stereochemistry.



● HCl

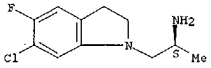
RN 500707-66-4 CAPLUS
CN 2-Propanol, 1-[[(1S)-2-(6-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-3-[2-(2-thienyl)phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **259858-07-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of indolylethylaminopropanediol aryl ethers as .beta.3 adrenergic agonists)
RN 259858-07-6 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



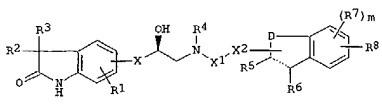
IT **500138-77-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indolylethylaminopropanediol aryl ethers as .beta.3

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:154400 CAPLUS
DOCUMENT NUMBER: 138:204942
TITLE: Preparation and use of 3-substituted oxoindole as .beta.3 agonists
INVENTOR(S): Bastian, Jolie Anne; Finley, Don Richard; He, John Xiaoqiang; Jesudason, Cynthia Darshini; Ratz, Andrew Michael; Rocco, Vincent Patrick; Ruehter, Gerd; Sall, Daniel Jon; Schotten, Theo; Spinazze, Patrick Gianpietro; Stevens, Freddie Craig; Trankle, William George; Werner, John Arnold
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

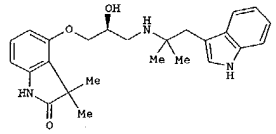
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016276	A2	20030227	WO 2002-US21316	20020806

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DE, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-312135P P 20010814
OTHER SOURCE(S): MARPAT 138:204942
GI



I



II

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

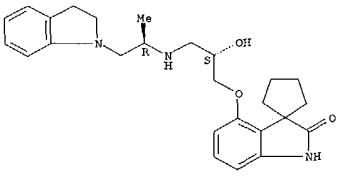
AB Title compds. I [dashed line = single or double bond; m = 0-2; D = amino, O, S; R1 = H, CN, halo, alkyl, haloalkyl, etc.; R2 = H, alkyl, benzyl; R3 = alkyl, benzyl or R2-3 combine with the C to which each are attached to form a carbocyclic ring; R4 = H, alkyl; R5 = H, CN, alkyl, etc.; R6 = H, alkyl, etc.; R7 = halo, OH, CN, alkyl, etc.; R8 = H, carboxy, carboxamido, etc.; X = OCH2, SCH2, bond; X1 = alkyl, bond; X2 = bond, CO, carboxamido, etc.] are prepd. For instance, 4-hydroxy-3,3-dimethyl-1,3-dihydroindol-2-one (prepn. given) was reacted with (2S)-(+)-glycidyl 3-nitrobenzenesulfonate to give the corresponding epoxide which when treated with the corresponding indolyl-amine gives II. I are .beta.3 adrenergic receptor agonists. I are capable of increasing lipolysis and energy expenditure in cells and is useful for treating Type 2 diabetes and/or obesity.

IT **500139-89-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and use of 3-substituted oxoindole as .beta.3 agonists for the treatment of diabetes/obesity)

RN 500139-89-9 CAPLUS

CN Spiro[cyclopentane-1,3'-[3H]indol]-2'(1'H)-one, 4'-[(2S)-3-[[(1R)-2-(2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-2-hydroxypropoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



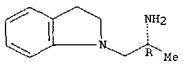
● HCl

IT **500138-77-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and use of 3-substituted oxoindole as .beta.3 agonists for the treatment of diabetes/obesity)

RN 500138-77-2 CAPLUS

CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Absolute stereochemistry.



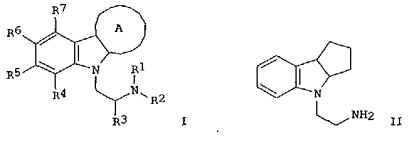
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:428881 CAPLUS
DOCUMENT NUMBER: 137:6087
TITLE: Preparation of indoline derivatives as 5-HT2 receptor ligands
INVENTOR(S): Bentley, Jonathan Mark; Davidson, James Edward Paul; Mansell, Howard Langham; Monck, Nathaniel Julius Thomas
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.; Vernalis Research Limited
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044152	A1	20020606	WO 2001-EP11814	20011012
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002021670	A5	20020611	AU 2002-21670	20011012
BR 2001014708	A	20030701	BR 2001-14708	20011012
EP 1328515	A1	20030723	EP 2001-998144	20011012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6479534	B1	20021112	US 2001-977783	20011015
US 2002183349	A1	20021205		

PRIORITY APPLN. INFO.: EP 2000-122539 A 20001016
WO 2001-EP11814 W 20011012

OTHER SOURCE(S): MARPAT 137:6087
GI



AB Title compds. I [R1-2 = H, alkyl, alkenyl, alkynyl, cycloalkyl; R3 = alkyl, alkenyl, alkynyl, cycloalkyl; R4-7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, halogen, haloalkyl, hydroxy, aryl, amino, mono- and dialkylamino, alkoxy, cycloalkyloxy, aryloxy, heteroaryloxy, alkylthio,

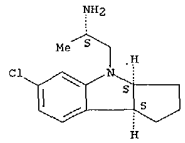
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
alkylsulfoxyl, alkylsulfonyl, nitro, cyano, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, heteroaryl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, carboxy; A = a 5 or 6 membered (un)satd. carbocyclic, heterocyclic ring, wherein the two atoms of the indoline ring to which ring A is fused form a satd. C-C single bond] were prepd. For instance, 2-[1,2,3,4-tetrahydrocyclopent[b]indol-4-yl]ethylamine.bul.HCl prepn. given was protected as the tert-butoxycarbonyl deriv., reduced (HOAc, NaCNBH3) and deprotected (MeOH, HCl) to give II isolated as the fumarate salt. In one assay, selected example compds. tested had. Ki = 88 - 310 nM for the 5-HT2A receptor. I are useful for the prevention and treatment of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, gastrointestinal disorders, diabetes, sleep apnea, and for the treatment and prevention of obesity.

IT **433333-03-0P 433333-04-1P 433333-06-3P**
433333-08-5P 433333-10-9P 433333-12-1P
433333-14-3P 433333-17-6P 433333-20-1P
433333-22-3P 433333-25-6P 433333-29-0P
433333-33-6P 433333-35-8P 433333-37-0P
433333-40-5P 433333-43-8P 433333-46-1P
433333-48-3P 433333-49-4P 433333-52-9P
433333-55-2P 433333-57-4P 433333-59-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; prepn. of indoline derivs. as 5-HT2 receptor ligands)

RN 433333-03-0 CAPLUS

CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, monohydrochloride, (.alpha.S,3aS,8bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



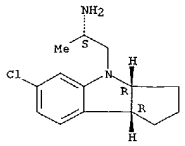
● HCl

RN 433333-04-1 CAPLUS

CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, monohydrochloride, (.alpha.S,3aR,8bR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



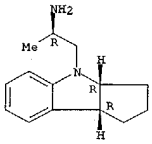
● HCl

RN 433333-06-3 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.R,3aR,8bR)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-05-2
CMF C14 H20 N2

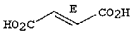
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



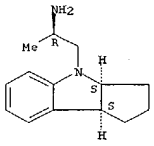
RN 433333-08-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-.alpha.-methyl-,

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(.alpha.R,3aS,8bS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-07-4
CMF C14 H20 N2

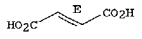
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



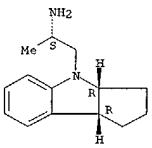
RN 433333-10-9 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aR,8bR)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-09-6
CMF C14 H20 N2

Absolute stereochemistry.

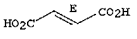
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

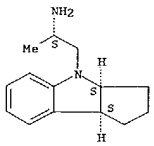


RN 433333-12-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aS,8bS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-11-0
CMF C14 H20 N2

Absolute stereochemistry.

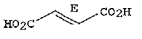


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

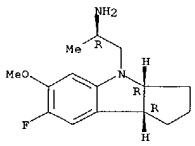


RN 433333-14-3 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.R,3aR,8bR)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 433333-13-2
CMF C15 H21 F N2 O

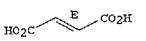
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



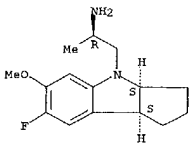
RN 433333-17-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.R,3aS,8bS)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 433333-16-5
CMF C15 H21 F N2 O

Absolute stereochemistry.

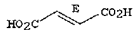
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

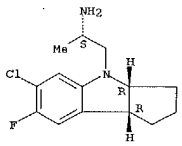


RN 433333-20-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aR,8bR)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 433333-19-8
CMF C14 H18 Cl F N2

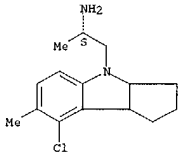
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

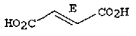
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

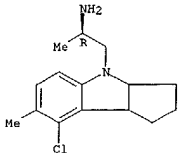


RN 433333-29-0 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3,3a,8b-tetrahydro-.alpha.,7-dimethyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-28-9
CMF C15 H21 Cl N2

Absolute stereochemistry.



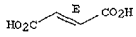
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Double bond geometry as shown.

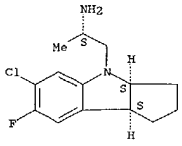


RN 433333-22-3 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aS,8bS)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 433333-21-2
CMF C14 H18 Cl F N2

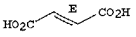
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



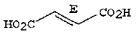
RN 433333-25-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3,3a,8b-tetrahydro-.alpha.,7-dimethyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-24-5
CMF C15 H21 Cl N2

Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

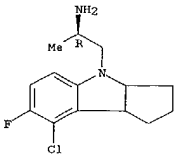


RN 433333-33-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-32-5
CMF C14 H18 Cl F N2

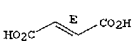
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



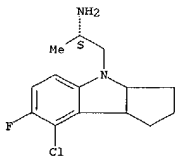
RN 433333-35-8 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-34-7
CMF C14 H18 Cl F N2

Absolute stereochemistry.

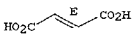
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

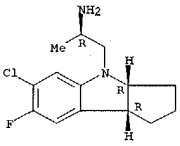


RN 433333-37-0 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.R,3aR,8bR)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-36-9
CMF C14 H18 Cl F N2

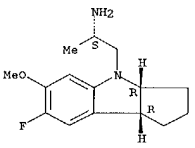
Absolute stereochemistry.



CM 2

CRN 110-17-8

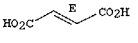
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

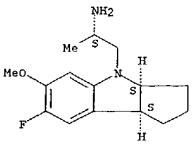


RN 433333-46-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.S,3aS,8bS)-, (2E)-2-butenedioate (10:7) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-45-0
CMF C15 H21 F N2 O

Absolute stereochemistry.



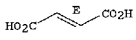
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C4 H4 O4

Double bond geometry as shown.

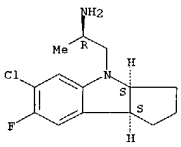


RN 433333-40-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.R,3aS,8bS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-39-2
CMF C14 H18 Cl F N2

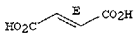
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



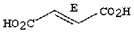
RN 433333-43-8 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.S,3aR,8bR)-, (2E)-2-butenedioate (10:7) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-42-7
CMF C15 H21 F N2 O

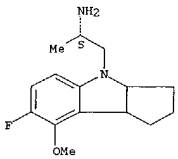
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-48-3 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-8-methoxy-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

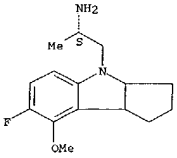


RN 433333-49-4 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-8-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-48-3
CMF C15 H21 F N2 O

Absolute stereochemistry.

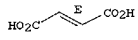


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

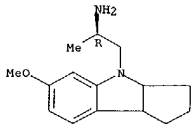


RN 433333-52-9 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-51-8
CMF C15 H22 N2 O

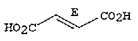
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



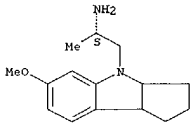
RN 433333-55-2 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-54-1
CMF C15 H22 N2 O

Absolute stereochemistry.

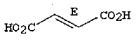
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

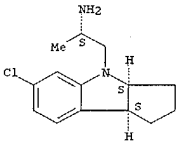
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 433333-57-4 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aS,8bS)- (9CI) (CA INDEX NAME)

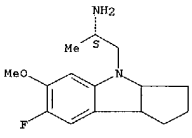
Absolute stereochemistry.



RN 433333-59-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

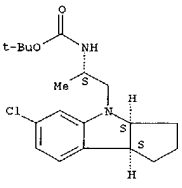
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **433333-71-2P 433333-72-3P 433333-73-4P**
433333-74-5P 433333-75-6P 433333-76-7P
433333-77-8P 433333-78-9P 433333-79-0P
433333-80-3P 433333-81-4P 433333-83-6P
433333-84-7P 433333-85-8P 433333-86-9P
433333-87-0P 433333-88-1P 433333-89-2P
433333-90-5P 433333-91-6P 433333-93-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indoline derivs. as 5-HT2 receptor ligands)
RN 433333-71-2 CAPLUS
CN Carbamic acid, [(1S)-2-[(3aS,8bS)-6-chloro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

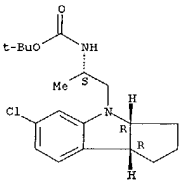
Absolute stereochemistry.



RN 433333-72-3 CAPLUS
CN Carbamic acid, [(1S)-2-[(3aR,8bR)-6-chloro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

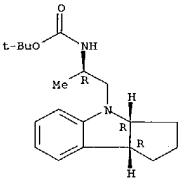
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-73-4 CAPLUS
CN Carbamic acid, [(1R)-1-methyl-2-[(3aR,8bR)-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

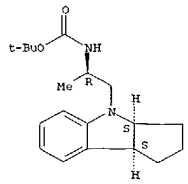
Absolute stereochemistry.



RN 433333-74-5 CAPLUS
CN Carbamic acid, [(1R)-1-methyl-2-[(3aS,8bS)-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

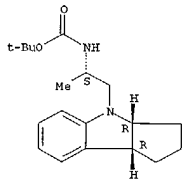
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-75-6 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-[(3aR,8bR)-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

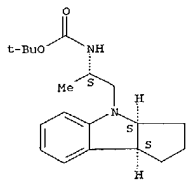
Absolute stereochemistry.



RN 433333-76-7 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-[(3aS,8bS)-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

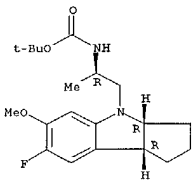
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-77-8 CAPLUS
CN Carbamic acid, [(1R)-2-[(3aR,8bR)-7-fluoro-2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

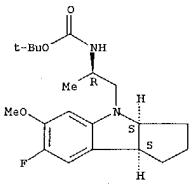
Absolute stereochemistry.



RN 433333-78-9 CAPLUS
CN Carbamic acid, [(1R)-2-[(3aS,8bS)-7-fluoro-2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

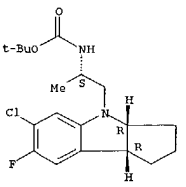
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-79-0 CAPLUS
CN Carbamic acid, [(1S)-2-[(3aR,8bR)-6-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

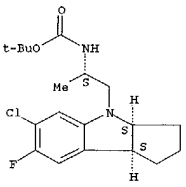
Absolute stereochemistry.



RN 433333-80-3 CAPLUS
CN Carbamic acid, [(1S)-2-[(3aS,8bS)-6-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

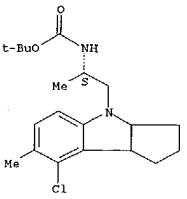
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-81-4 CAPLUS
CN Carbamic acid, [(1S)-2-(8-chloro-2,3,3a,8b-tetrahydro-7-methylcyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

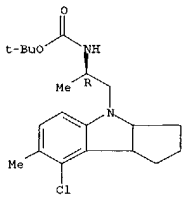
Absolute stereochemistry.



RN 433333-83-6 CAPLUS
CN Carbamic acid, [(1R)-2-(8-chloro-2,3,3a,8b-tetrahydro-7-methylcyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

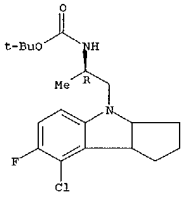
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-84-7 CAPLUS
CN Carbamic acid, [(1R)-2-(8-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

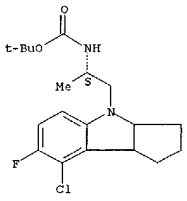
Absolute stereochemistry.



RN 433333-85-8 CAPLUS
CN Carbamic acid, [(1S)-2-(8-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

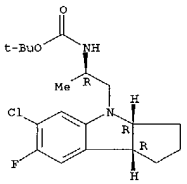
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-86-9 CAPLUS
CN Carbamic acid, [(1R)-2-[(3aR,8bR)-6-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

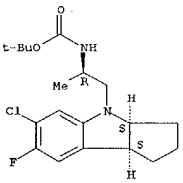
Absolute stereochemistry.



RN 433333-87-0 CAPLUS
CN Carbamic acid, [(1R)-2-[(3aS,8bS)-6-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

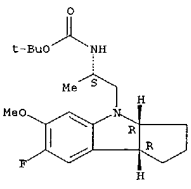
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-88-1 CAPLUS
CN Carbamic acid, [(1S)-2-[(3aR,8bR)-7-fluoro-2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

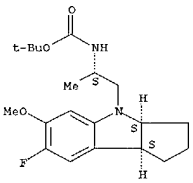
Absolute stereochemistry.



RN 433333-89-2 CAPLUS
CN Carbamic acid, [(1S)-2-[(3aS,8bS)-7-fluoro-2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

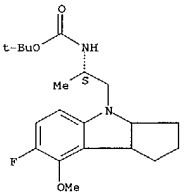
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



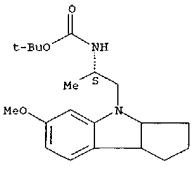
RN 433333-90-5 CAPLUS
CN Carbamic acid, [(1S)-2-(7-fluoro-2,3,3a,8b-tetrahydro-8-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433333-91-6 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

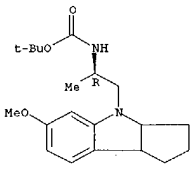
Absolute stereochemistry.



L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 433333-93-8 CAPLUS
CN Carbamic acid, [(1R)-1-methyl-2-(2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

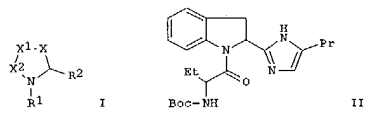


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:353276 CAPLUS
DOCUMENT NUMBER: 136:369991
TITLE: Preparation of N-acyl heterocyclic compounds as tripeptidyl peptidase inhibitors
INVENTOR(S): Breslin, Henry Joseph; De Winter, Hans Louis Jos; Kukla, Michael Joseph
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036116	A2	20020510	WO 2001-EP12388	20011024
WO 2002036116	A3	20020926		
WO 2002036116	C2	20030530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002024797	A5	20020515	AU 2002-24797	20011024
EE 200300168	A	20030616	EE 2003-168	20011024
NO 2003001930	A	20030429	NO 2003-1930	20030429

PRIORITY APPLN. INFO.: US 2000-244223P P 20001030
WO 2001-EP12388 W 20011024
OTHER SOURCE(S): MARPAT 136:369991
GI



AB The invention relates to novel compds. I [X = O, S, CH2, CH2CH2, alkylmethylene or alkylethylene; X1 = (un)substituted ethylene, o-phenylene, o-phenylenemethylene or 1,2-cyclohexanediyl; X2 = null or CH2; R1 = C1-6alkylcarbonyl optionally substituted by hydroxy, C1-6alkyloxycarbonyl, aminoC1-6alkylcarbonyl where the C1-6alkyl group is optionally substituted by C3-6cycloalkyl, mono- or bis(C1-4alkyl)aminoC1-

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
6alkylcarbonyl, arylaminocarbonyl, C1-6alkylcarbonyloxyC1-6alkylcarbonyl, C1-6alkyloxycarbonylaminoC1-6alkylcarbonyl, where the amino group is optionally substituted by C1-4alkyl, an amino acid residue, aminoC1-6alkyl or arylcarbonyl; R2 = (un)substituted pyrrolyl, imidazolyl, 1,2,4-triazolyl, oxazolyl, thiazolyl, 1,2,4-oxadiazolyl or benzimidazolyl] which are inhibitors of a membrane tripeptidyl peptidase responsible for the inactivation of endogenous neuropeptides such as cholecystokinins (CCKs). Thus, compd. (S,S)-II (Boc = tert-butoxycarbonyl) was prepd. by acylation of (S)-2,3-dihydro-2-(4-propyl-1H-imidazol-2-yl)-1H-indole with (S)-Boc-NHCHEtCOF (syntheses given).

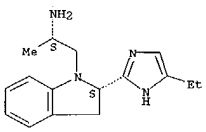
IT **422573-70-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-acyl heterocyclic compds. as tripeptidyl peptidase inhibitors)

RN 422573-70-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2-(4-ethyl-1H-imidazol-2-yl)-2,3-dihydro-.alpha.-methyl-, (.alpha.S,2S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 422573-69-1
CMF C16 H22 N4

Absolute stereochemistry.



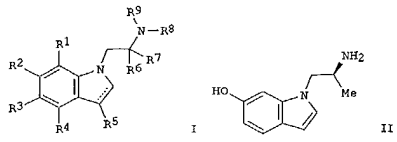
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:416899 CAPLUS
DOCUMENT NUMBER: 135:33426
TITLE: 1-Aminoalkyl-1H-indoles for treating glaucoma
INVENTOR(S): Chen, Hwang-Hsing; May, Jesse A.; Dantanarayana, Anura P.
PATENT ASSIGNEE(S): Alcon Universal Ltd., Switz.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040183	A1	20010607	WO 2000-US31248	20001114
W: AU, BR, CA, CN, JP, KR, MX, PL, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				

PRIORITY APPLN. INFO.: US 1999-168832P P 19991203
US 2000-190207P P 20000317
OTHER SOURCE(S): MARPAT 135:33426
GI

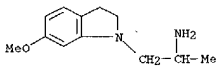


AB 1-Aminoalkyl-1H-indoles I, which are 5-HT2 receptor agonists, and are useful for treating ocular hypertension and glaucoma, are disclosed [wherein R1 and R2 = H, halo, alkyl, CF3, -OW, alkylthio, alkylsulfoxyl, alkylsulfonyl, or cyano; R3, R4 = H, halo, alkyl, CF3, or cyano; R5 = H, halo, alkyl, or alkoxy; R6, R7 = H or alkyl; or R6R7 = CH2CH2; or R7R8 = (CH2)m; R8, R9 = H or alkyl; R1-R4 cannot simultaneously be H; R6 and R7 cannot both be H; W = H, alkyl, C(:O)X, or P(:O)(OY)(OZ); X = alkyl, NR8R9, N(R8)CH2(CH2)nC(:O)NR8R9, alkoxy, alkyl [which can be substituted with halo, OH, CO2-alkyl, CON(alkyl)2, C(:NH)NH2, NHC(:NH)NH2, NH2], alkenyl [substituted by Ph, which is (un)substituted with 1 or more of alkyl, alkoxy or halo]; Y, Z = H, alkyl; or YZ = (CH2)m; m = 2-4; n = 1 or 2; dashed bond = double bond; and pharmaceutically acceptable salts and solvates]. Also claimed are several specific compds. I, as well as methods for using I [slightly broader definition, including indolines where dashed bond = single bond] for controlling normal and elevated intraocular pressure and treating glaucoma. Over 40 example compds. and their salts/free bases were prepd. and/or claimed. For instance, 6-benzyloxyindole was N-alkylated by (R)-(+)-propylene oxide, and the resulting alc. was converted to the mesylate and then the corresponding azide. Hydrogenation of the azide gave the most preferred title compd., namely 1-((S)-2-aminopropyl)-1H-indol-6-ol (II). Compds. I showed high affinities for 5-HT2 receptors, inhibiting the binding of [125I]-DOI with

L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
typical IC50 values of < 2.5 nM. Most of the test compds. also showed full agonist activity in a phosphoinositide turnover assay, and generally gave approx. 15-30% redn. in intraocular pressure in hypertensive eyes of cynomolgus monkeys at a dose of 300 .mu.g (topical, one eye).

IT **259859-09-1P 343578-76-7P 343578-80-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of aminoalkylindoles as 5-HT2 agonists for treatment of glaucoma)

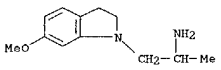
RN 259859-09-1 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 343578-76-7 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

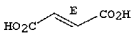
CRN 259859-09-1
CMF C12 H18 N2 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

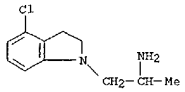


RN 343578-80-3 CAPLUS
CN 1H-Indole-1-ethanamine, 4-chloro-2,3-dihydro-.alpha.-methyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

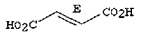
CRN 343578-79-0
CMF C11 H15 Cl N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



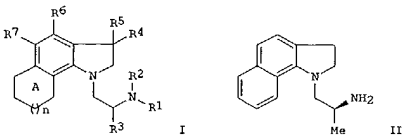
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:137191 CAPLUS
DOCUMENT NUMBER: 134:193338
TITLE: Preparation and use of condensed indoline derivatives and their use as 5-HT, in particular 5-HT2c, receptor ligands
INVENTOR(S): Roffey, Jonathan Richard Anthony; Davidson, James Edward Paul; Mansell, Howard Langham; Hamlyn, Richard John; Adams, David Reginald
PATENT ASSIGNEE(S): Vernalis Research Limited, UK
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012602	A1	20010222	WO 2000-GB3008	20000804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013314	A	20020402	BR 2000-13314	20000804
EP 1202964	A1	20020508	EP 2000-951696	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003507366	T2	20030225	JP 2001-517500	20000804
ZA 2001010218	A	20021212	ZA 2001-10218	20011212

PRIORITY APPLN. INFO.: GB 1999-18965 A 19990811
WO 2000-GB3008 W 20000804

OTHER SOURCE(S): MARPAT 134:193338
GI



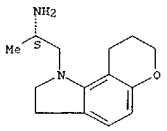
AB Novel compds. I and use thereof are claimed [wherein; R1, R2 are H, alkyl; R3 is alkyl; R4, R5 are H, alkyl; R6, R7 are H, halo, OH, alkyl, aryl, NH2, alkylamino, dialkylamino, alkoxy, aryloxy, alkylthio, alkylsulfoxyl, alkylsulfonyl, nitro, carbonitrile, carbo-alkoxy, carbo-aryloxy and carboxyl; A is a 5- or 6-membered (un)satd. (hetero)cycle (n is 1 or 2)].

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Eleven examples are given. The synthesis of II proceeded by alkylation of benz[g]indole with the corresponding N-tert-butoxycarbonyl-protected sidechain. The resulting indole was converted to the indoline with sodium cyanoborohydride in acetic acid. Deprotection with trifluoroacetic acid furnished II as an oil and isolation of a solid as its hemi-fumarate deriv. Compds. I showed affinity for 5-HT2A, 5-HT2B and 5-HT2C receptors in a CHO cell line. Compd. II had a Ki of 107 nM in a radiolabeled [3H]-5-HT assay. Treatment of disorders of the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus, and sleep apnea, and particularly the treatment of obesity are claimed uses of compds. I.

IT **327182-96-7P 327182-97-8P 327182-99-0P**
327183-00-6P 327183-03-9P 327183-07-3P
327183-08-4P 327183-09-5P 327183-10-8P
327183-11-9P 327183-12-0P 327183-13-1P
327183-15-3P 327183-16-4P 327183-17-5P
327183-18-6P 327185-03-5P 327185-04-6P
327185-05-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)

RN 327182-96-7 CAPLUS
CN Pyrano[2,3-g]indole-1(7H)-ethanamine, 2,3,8,9-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



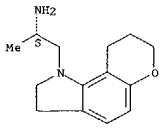
RN 327182-97-8 CAPLUS
CN Pyrano[2,3-g]indole-1(7H)-ethanamine, 2,3,8,9-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327182-96-7
CMF C14 H20 N2 O

Absolute stereochemistry.

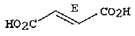
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

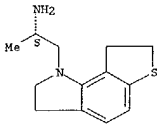
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327182-99-0 CAPLUS
CN 1H-Thieno[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



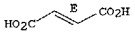
RN 327183-00-6 CAPLUS
CN 1H-Thieno[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327182-99-0
CMF C13 H18 N2 S

Absolute stereochemistry.

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

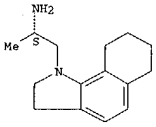


RN 327183-07-3 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3,6,7,8,9-hexahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-06-2
CMF C15 H22 N2

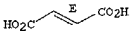
Absolute stereochemistry.



CM 2

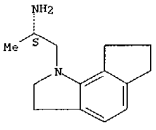
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



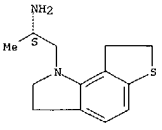
RN 327183-08-4 CAPLUS
CN Cyclopent[g]indole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-09-5 CAPLUS
CN Cyclopent[g]indole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

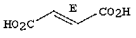
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

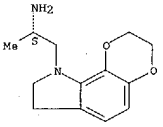


RN 327183-03-9 CAPLUS
CN 9H-1,4-Dioxino[2,3-g]indole-9-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-02-8
CMF C13 H18 N2 O2

Absolute stereochemistry.



CM 2

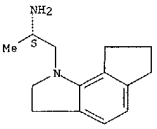
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CM 1

CRN 327183-08-4
CMF C14 H20 N2

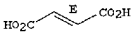
Absolute stereochemistry.



CM 2

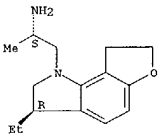
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-10-8 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



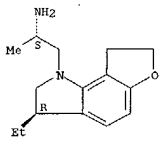
RN 327183-11-9 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 327183-10-8
CMF C15 H22 N2 O

Absolute stereochemistry.

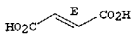
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

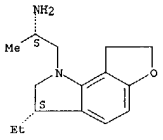
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-12-0 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



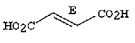
RN 327183-13-1 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 327183-12-0
CMF C15 H22 N2 O

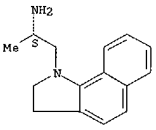
Absolute stereochemistry.

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



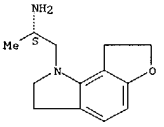
RN 327183-16-4 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-17-5 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

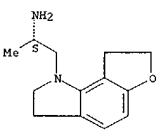
Absolute stereochemistry.



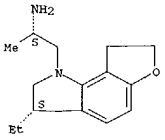
●2 HCl

RN 327183-18-6 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



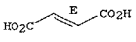
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

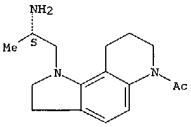


RN 327183-15-3 CAPLUS
CN 1H-Pyrrolo[2,3-f]quinoline-1-ethanamine, 6-acetyl-2,3,6,7,8,9-hexahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-14-2
CMF C16 H23 N3 O

Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

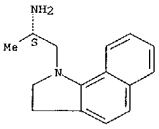
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 327185-03-5 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-16-4
CMF C15 H18 N2

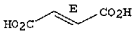
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

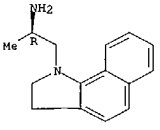


RN 327185-04-6 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-22-2
CMF C15 H18 N2

Absolute stereochemistry.

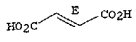


CM 2

CRN 110-17-8

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C4 H4 O4

Double bond geometry as shown.

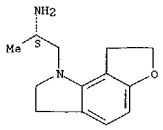


RN 327185-05-7 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-18-6
CMF C13 H18 N2 O

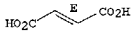
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

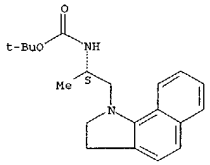
Double bond geometry as shown.



IT **327183-20-0P 327183-28-8P 327183-40-4P**
327183-52-8P 327183-58-4P 327183-60-8P
327183-63-1P 327183-67-5P 327183-68-6P
327183-72-2P 327185-07-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)
RN 327183-20-0 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

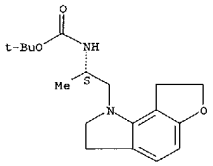
Absolute stereochemistry.

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



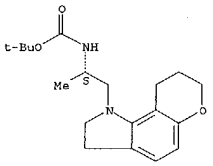
RN 327183-28-8 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-40-4 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,8,9-tetrahydropyrano[2,3-g]indol-1(7H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

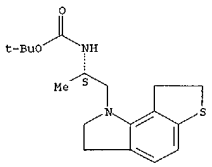
Absolute stereochemistry.



RN 327183-52-8 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-thieno[2,3-g]indol-

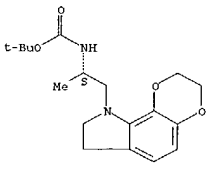
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



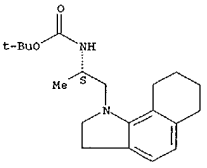
RN 327183-58-4 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-9H-1,4-dioxino[2,3-g]indol-9-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-60-8 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3,6,7,8,9-hexahydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

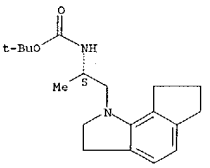
Absolute stereochemistry.



RN 327183-63-1 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(3,6,7,8-tetrahydrocyclopent[g]indol-1(2H)-

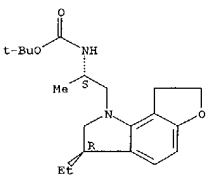
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-67-5 CAPLUS
CN Carbamic acid, [(1S)-2-[(3R)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

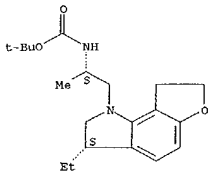
Absolute stereochemistry.



RN 327183-68-6 CAPLUS
CN Carbamic acid, [(1S)-2-[(3S)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

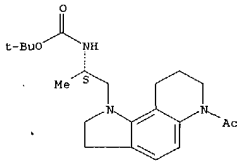
Absolute stereochemistry.

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



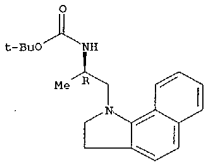
RN 327183-72-2 CAPLUS
CN Carbamic acid, [(1S)-2-(6-acetyl-2,3,6,7,8,9-hexahydro-1H-pyrrolo[2,3-f]quinolin-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327185-07-9 CAPLUS
CN Carbamic acid, [(1R)-2-(2,3-dihydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

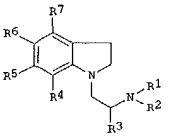


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:161255 CAPLUS
DOCUMENT NUMBER: 132:194289
TITLE: Preparation of indolinealkylamine derivatives as 5-HT2B and/or 5-HT2C receptor ligands
INVENTOR(S): Adams, David Reginald; Bentley, Jonathan Mark; Roffey, Jonathan Richard Anthony; Hamlyn, Richard John; Gaur, Suneel; Duncton, Matthew Alexander James; Bebbington, David; Monck, Nathaniel Julius; Dawson, Claire Elizabeth; Pratt, Robert Mark; George, Ashley Roger
PATENT ASSIGNEE(S): Cerebrus Pharmaceuticals Limited, UK; et al.
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012475	A1	20000309	WO 1999-GB2879	19990901
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341525	AA	20000309	CA 1999-2341525	19990901
AU 9956371	A1	20000321	AU 1999-56371	19990901
EP 1109784	A1	20010627	EP 1999-943086	19990901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6380238	B1	20020430	US 2001-786154	20010301

PRIORITY APPLN. INFO.: GB 1998-19033 A 19980901
WO 1999-GB2879 W 19990901

OTHER SOURCE(S): MARPAT 132:194289
GI



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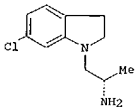


II

AB The title compds. (I) [wherein R1-R3 = independently H or alkyl; R4-R7 = independently H, halogen, hydroxy, alkyl(thio), arylthio, alkoxy, aryl(oxy), heterocyclyl, alkylsulfoxyl, alkylsulfonyl, arylsulfoxyl, arylsulfonyl, amino, (di)alkylamino, NO2, CN, CHO, alkylcarbonyl,

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

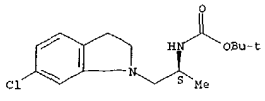
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

arylcarbonyl, aminocarbonyl, (di)alkylaminocarbonyl, alkoxycarbonylamino, aminocarbonyloxy, (di)alkylaminocarbonyloxy, or (di)alkylaminocarbonylamino, and at least one of R4-R7 .noteq. H] and their pharmaceutically acceptable salts were prepd. for the treatment of obesity. For example, II fumarate was formed in a synthetic sequence involving the addn. of (S)-2-(tert-butoxycarbonylamino)propane methanesulfonate to 6-chloroindole, redn. of the indole to the corresponding indoline using NaBH3CN, and deprotection of the amine with CF3CO2H, followed by salt formation with fumaric acid. II fumarate bound to the serotonin receptors 5-HT2C (Ki = 55 nM) and 5-HT2B (Ki = 138 nM) more strongly than to the 5-HT2A (Ki = 252 nM) receptor. In a functional activity assay using Chinese hamster ovary (CHO) cells, II fumarate demonstrated higher relative efficacy in reducing response of the 5-HT2C receptor (62%) compared to the 5-HT2A receptor (49%). I are also useful in the treatment of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, gastrointestinal disorders, diabetes insipidus, and sleep apnea (no data).

IT **259859-41-1P 259859-72-8P 259859-73-9P**
259859-74-0P 259859-75-1P 259859-76-2P
259859-77-3P 259859-78-4P 259859-79-5P
259859-80-8P 259859-81-9P 259859-82-0P
259859-83-1P 259859-84-2P 259859-85-3P
259859-86-4P 259859-87-5P 259859-88-6P
259859-89-7P 259859-90-0P 259859-91-1P
259859-92-2P 259859-93-3P 259859-94-4P
259859-95-5P 259859-96-6P 259859-97-7P
259859-98-8P 259859-99-9P 259860-16-7P
259860-17-8P 259860-18-9P 259860-19-0P
259860-20-3P 259860-21-4P 259860-22-5P
259860-38-3P 259860-39-4P 259860-40-7P
259860-41-8P 259860-42-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indolinealkylamine 5-HT2B and/or 5-HT2C receptor ligands by addn. of indoles to mesyloxyalkylamines or epoxides, followed by azidation, or by reaction of indolinealkylamines with arylboronic acids)

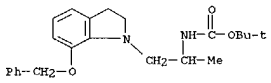
RN 259859-41-1 CAPLUS
CN Carbamic acid, [(1S)-2-(6-chloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



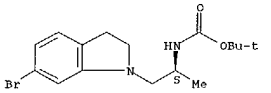
RN 259859-72-8 CAPLUS
CN Carbamic acid, [2-[2,3-dihydro-7-(phenylmethoxy)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



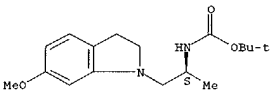
RN 259859-73-9 CAPLUS
CN Carbamic acid, [(1S)-2-(6-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



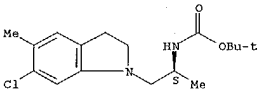
RN 259859-74-0 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-methoxy-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



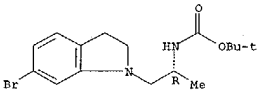
RN 259859-75-1 CAPLUS
CN Carbamic acid, [(1S)-2-(6-chloro-2,3-dihydro-5-methyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



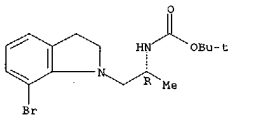
RN 259859-76-2 CAPLUS
CN Carbamic acid, [(1R)-2-(6-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



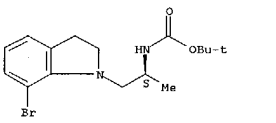
RN 259859-80-8 CAPLUS
CN Carbamic acid, [(1R)-2-(7-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



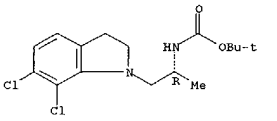
RN 259859-81-9 CAPLUS
CN Carbamic acid, [(1S)-2-(7-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



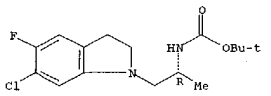
RN 259859-82-0 CAPLUS
CN Carbamic acid, [(1R)-2-(6,7-dichloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



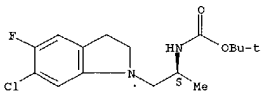
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



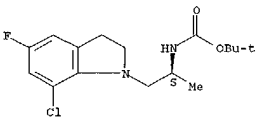
RN 259859-77-3 CAPLUS
CN Carbamic acid, [(1S)-2-(6-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259859-78-4 CAPLUS
CN Carbamic acid, [(1S)-2-(7-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



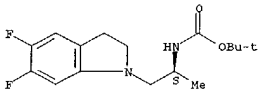
RN 259859-79-5 CAPLUS
CN Carbamic acid, [(1R)-2-(6-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

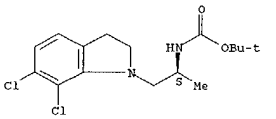
RN 259859-83-1 CAPLUS
CN Carbamic acid, [(1S)-2-(5,6-difluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



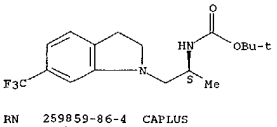
RN 259859-84-2 CAPLUS
CN Carbamic acid, [(1S)-2-(6,7-dichloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259859-85-3 CAPLUS
CN Carbamic acid, [(1S)-2-[2,3-dihydro-6-(trifluoromethyl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

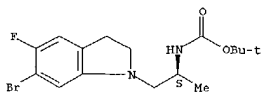
Absolute stereochemistry.



RN 259859-86-4 CAPLUS
CN Carbamic acid, [(1S)-2-(6-bromo-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

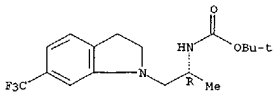
Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



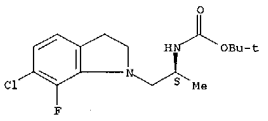
RN 259859-87-5 CAPLUS
CN Carbamic acid, [(1R)-2-[2,3-dihydro-6-(trifluoromethyl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



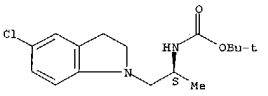
RN 259859-88-6 CAPLUS
CN Carbamic acid, [(1S)-2-(6-chloro-7-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

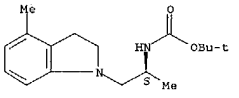


RN 259859-89-7 CAPLUS
CN Carbamic acid, [(1S)-2-(5-chloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

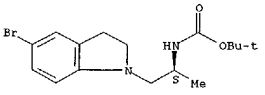


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



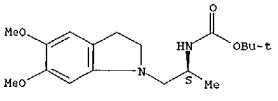
RN 259859-94-4 CAPLUS
CN Carbamic acid, [(1S)-2-(5-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



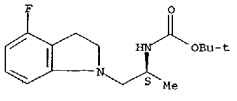
RN 259859-95-5 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-5,6-dimethoxy-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259859-96-6 CAPLUS
CN Carbamic acid, [(1S)-2-(4-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



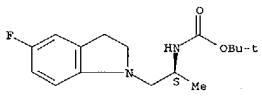
RN 259859-97-7 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-7-methoxy-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

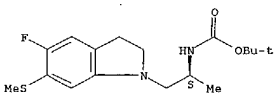
RN 259859-90-0 CAPLUS
CN Carbamic acid, [(1S)-2-(5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



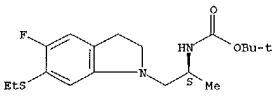
RN 259859-91-1 CAPLUS
CN Carbamic acid, [(1S)-2-[5-fluoro-2,3-dihydro-6-(methylthio)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259859-92-2 CAPLUS
CN Carbamic acid, [(1S)-2-[6-(ethylthio)-5-fluoro-2,3-dihydro-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

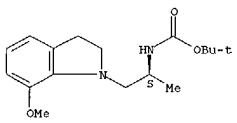
Absolute stereochemistry.



RN 259859-93-3 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-4-methyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

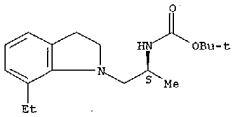
Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



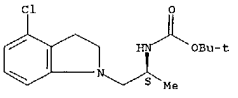
RN 259859-98-8 CAPLUS
CN Carbamic acid, [(1S)-2-(7-ethyl-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



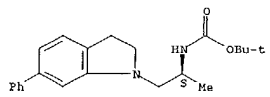
RN 259859-99-9 CAPLUS
CN Carbamic acid, [(1S)-2-(4-chloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-16-7 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-phenyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

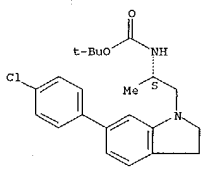
Absolute stereochemistry.



RN 259860-17-8 CAPLUS

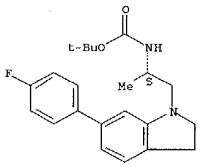
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Carbamic acid, [(1S)-2-[6-(4-chlorophenyl)-2,3-dihydro-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-18-9 CAPLUS
CN Carbamic acid, [(1S)-2-[6-(4-fluorophenyl)-2,3-dihydro-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

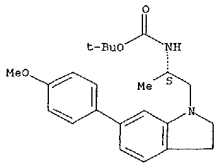
Absolute stereochemistry.



RN 259860-19-0 CAPLUS
CN Carbamic acid, [(1S)-2-[2,3-dihydro-6-(4-methoxyphenyl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

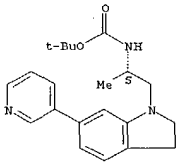
Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



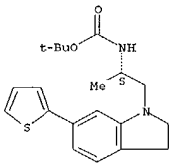
RN 259860-20-3 CAPLUS
CN Carbamic acid, [(1S)-2-[2,3-dihydro-6-(3-pyridinyl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-21-4 CAPLUS
CN Carbamic acid, [(1S)-2-[2,3-dihydro-6-(2-thienyl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

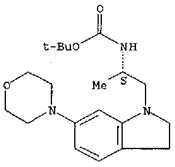
Absolute stereochemistry.



RN 259860-22-5 CAPLUS

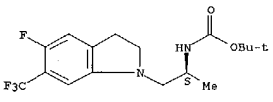
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Carbamic acid, [(1S)-2-[2,3-dihydro-6-(4-morpholinyl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



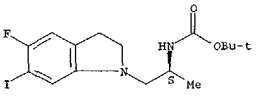
RN 259860-38-3 CAPLUS
CN Carbamic acid, [(1S)-2-[5-fluoro-2,3-dihydro-6-(trifluoromethyl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-39-4 CAPLUS
CN Carbamic acid, [(1S)-2-(5-fluoro-2,3-dihydro-6-iodo-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

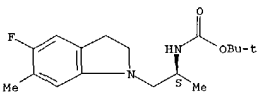
Absolute stereochemistry.



RN 259860-40-7 CAPLUS
CN Carbamic acid, [(1S)-2-(5-fluoro-2,3-dihydro-6-methyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

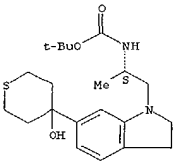
Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



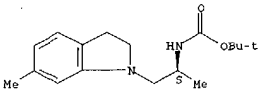
RN 259860-41-8 CAPLUS
CN Carbamic acid, [(1S)-2-[2,3-dihydro-6-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-42-9 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-methyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

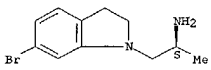
Absolute stereochemistry.



IT **259857-99-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compd.; prepn. of indolinealkylamine 5-HT2B and/or 5-HT2C receptor ligands by addn. of indoles to mesyloxyalkylamines or epoxides, followed by azidation, or by reaction of indolinealkylamines with arylboronic acids)
RN 259857-99-3 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

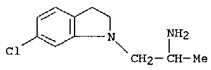
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT 259857-82-4P 259857-83-5P 259857-84-6P
259857-86-8P 259857-87-9P 259857-88-0P
259857-90-4P 259857-91-5P 259857-92-6P
259857-94-8P 259857-95-9P 259857-96-0P
259857-98-2P 259858-00-9P 259858-01-0P
259858-02-1P 259858-04-3P 259858-06-5P
259858-07-6P 259858-09-8P 259858-11-2P
259858-13-4P 259858-15-6P 259858-17-8P
259858-18-9P 259858-19-0P 259858-21-4P
259858-22-5P 259858-23-6P 259858-24-7P
259858-25-8P 259858-27-0P 259858-29-2P
259858-30-5P 259858-31-6P 259858-32-7P
259858-33-8P 259858-34-9P 259858-35-0P
259858-36-1P 259858-37-2P 259858-39-4P
259858-41-8P 259858-43-0P 259858-45-2P
259858-47-4P 259858-49-6P 259858-51-0P
259858-52-1P 259858-53-2P 259858-54-3P
259858-55-4P 259858-56-5P 259858-57-6P
259858-58-7P 259858-59-8P 259858-61-2P
259858-63-4P 259858-65-6P 259858-67-8P
259858-69-0P 259858-71-4P 259858-73-6P
259858-82-7P 259858-83-8P 259858-84-9P
259858-85-0P 259858-86-1P 259858-87-2P
259858-88-3P 259858-89-4P 259858-90-7P
259858-91-8P 259858-92-9P 259858-93-0P
259858-95-2P 259858-96-3P 259858-97-4P
259858-98-5P 259858-99-6P 259859-00-2P
259859-01-3P 259859-02-4P 259859-03-5P
259859-04-6P 259859-05-7P 259859-06-8P
259859-07-9P 259859-08-0P 259859-09-1P
259859-10-4P 259859-11-5P 259859-12-6P
259859-13-7P 259859-14-8P 259860-43-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of indolinealkylamine 5-HT2B and/or 5-HT2C receptor ligands by addn. of indoles to mesyloxyalkylamines or epoxides, followed by azidation, or by reaction of indolinealkylamines with arylboronic acids)

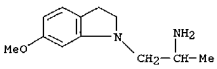
RN 259857-82-4 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



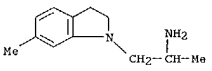
● HCl

RN 259857-83-5 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259857-84-6 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



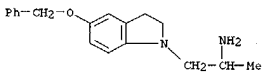
● HCl

RN 259857-86-8 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-5-(phenylmethoxy)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259857-85-7
CMF C18 H22 N2 O

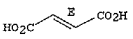
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



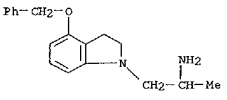
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

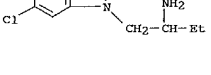


RN 259857-87-9 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-4-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259857-88-0 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-.alpha.-ethyl-2,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



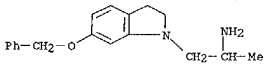
● HCl

RN 259857-90-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(phenylmethoxy)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

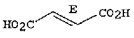
CRN 259857-89-1
CMF C18 H22 N2 O



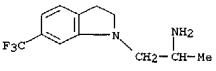
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



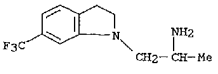
RN 259857-91-5 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (9CI) (CA INDEX NAME)



RN 259857-92-6 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259857-91-5
CMF C12 H15 F3 N2

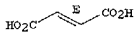


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

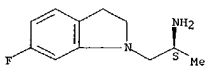


RN 259857-94-8 CAPLUS
CN 1H-Indole-1-ethanamine, 6-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259857-93-7
CMF C11 H15 F N2

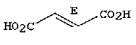
Absolute stereochemistry.



CM 2

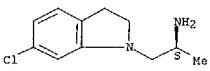
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259857-95-9 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259857-96-0 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

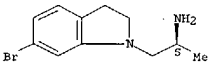
CRN 259857-95-9
CMF C11 H15 Cl N2

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 1

CRN 259857-99-3
CMF C11 H15 Br N2

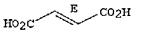
Absolute stereochemistry.



CM 2

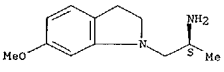
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-01-0 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

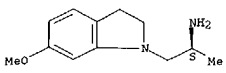


RN 259858-02-1 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

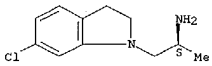
CRN 259858-01-0
CMF C12 H18 N2 O

Absolute stereochemistry.



CM 2

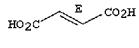
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

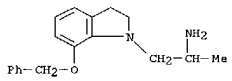
Double bond geometry as shown.



RN 259857-98-2 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-7-(phenylmethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 259857-97-1
CMF C18 H22 N2 O



CM 2

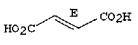
CRN 76-05-1
CMF C2 H F3 O2

RN 259858-00-9 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

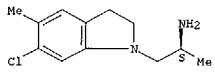


RN 259858-04-3 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.,5-dimethyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-03-2
CMF C12 H17 Cl N2

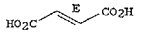
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

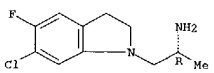


RN 259858-06-5 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-05-4
CMF C11 H14 Cl F N2

Absolute stereochemistry.

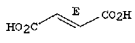


CM 2

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

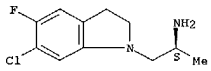
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-07-6 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

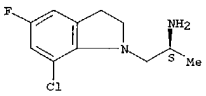


RN 259858-09-8 CAPLUS
CN 1H-Indole-1-ethanamine, 7-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-08-7
CMF C11 H14 Cl F N2

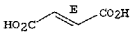
Absolute stereochemistry.



CM 2

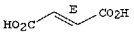
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-11-2 CAPLUS

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

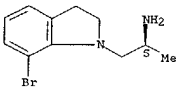


RN 259858-15-6 CAPLUS
CN 1H-Indole-1-ethanamine, 7-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-14-5
CMF C11 H15 Br N2

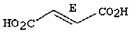
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

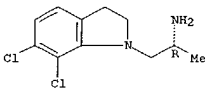


RN 259858-17-8 CAPLUS
CN 1H-Indole-1-ethanamine, 6,7-dichloro-2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-16-7
CMF C11 H14 Cl2 N2

Absolute stereochemistry.



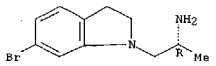
CM 2

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-10-1
CMF C11 H15 Br N2

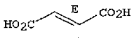
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

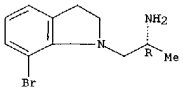


RN 259858-13-4 CAPLUS
CN 1H-Indole-1-ethanamine, 7-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-12-3
CMF C11 H15 Br N2

Absolute stereochemistry.



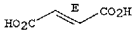
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

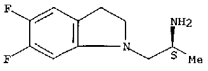
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-18-9 CAPLUS
CN 1H-Indole-1-ethanamine, 5,6-difluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

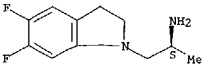


RN 259858-19-0 CAPLUS
CN 1H-Indole-1-ethanamine, 5,6-difluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-18-9
CMF C11 H14 F2 N2

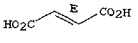
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



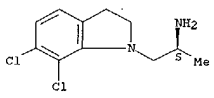
RN 259858-21-4 CAPLUS
CN 1H-Indole-1-ethanamine, 6,7-dichloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-20-3
CMF C11 H14 Cl2 N2

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

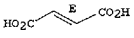
Absolute stereochemistry.



CM 2

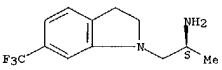
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-22-5 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

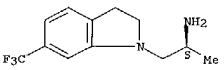


RN 259858-23-6 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-22-5
CMF C12 H15 F3 N2

Absolute stereochemistry.

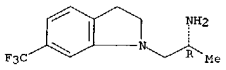


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-26-9
CMF C12 H15 F3 N2

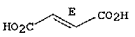
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

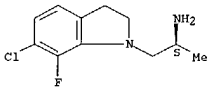


RN 259858-29-2 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-7-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-28-1
CMF C11 H14 Cl F N2

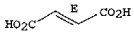
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

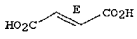
Double bond geometry as shown.



L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CM 2

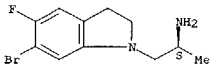
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-24-7 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

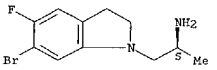


RN 259858-25-8 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-24-7
CMF C11 H14 Br F N2

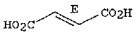
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

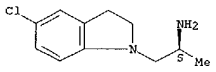
Double bond geometry as shown.



RN 259858-27-0 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-,

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 259858-30-5 CAPLUS
CN 1H-Indole-1-ethanamine, 5-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

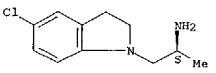


RN 259858-31-6 CAPLUS
CN 1H-Indole-1-ethanamine, 5-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-30-5
CMF C11 H15 Cl N2

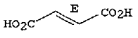
Absolute stereochemistry.



CM 2

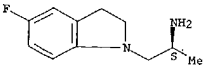
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-32-7 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

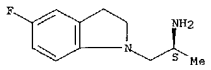


RN 259858-33-8 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CM 1

CRN 259858-32-7
CMF C11 H15 F N2

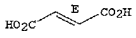
Absolute stereochemistry.



CM 2

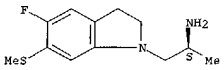
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-34-9 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(methylthio)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

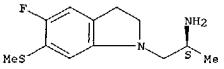


RN 259858-35-0 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(methylthio)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-34-9
CMF C12 H17 F N2 S

Absolute stereochemistry.

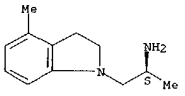


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 259858-39-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.,4-dimethyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-38-3
CMF C12 H18 N2

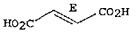
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

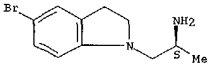


RN 259858-41-8 CAPLUS
CN 1H-Indole-1-ethanamine, 5-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-40-7
CMF C11 H15 Br N2

Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

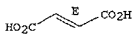
Double bond geometry as shown.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

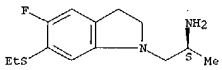
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-36-1 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

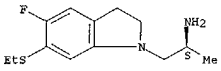


RN 259858-37-2 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-36-1
CMF C13 H19 F N2 S

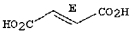
Absolute stereochemistry.



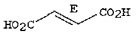
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

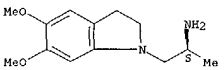


RN 259858-43-0 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-5,6-dimethoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-42-9
CMF C13 H20 N2 O2

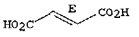
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

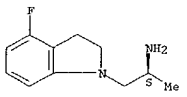


RN 259858-45-2 CAPLUS
CN 1H-Indole-1-ethanamine, 4-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-44-1
CMF C11 H15 F N2

Absolute stereochemistry.

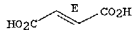


CM 2

CRN 110-17-8
CMF C4 H4 O4

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Double bond geometry as shown.

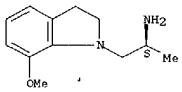


RN 259858-47-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-7-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-46-3
CMF C12 H18 N2 O

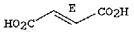
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



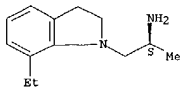
RN 259858-49-6 CAPLUS
CN 1H-Indole-1-ethanamine, 7-ethyl-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-48-5
CMF C13 H20 N2

Absolute stereochemistry.

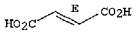
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

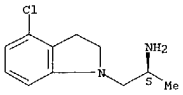


RN 259858-51-0 CAPLUS
CN 1H-Indole-1-ethanamine, 4-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-50-9
CMF C11 H15 Cl N2

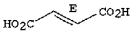
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

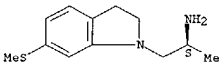
Double bond geometry as shown.



RN 259858-52-1 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(methylthio)-, (.alpha.S)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.

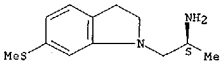


RN 259858-53-2 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(methylthio)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-52-1
CMF C12 H18 N2 S

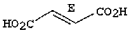
Absolute stereochemistry.



CM 2

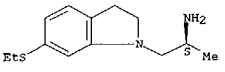
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-54-3 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



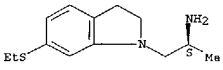
RN 259858-55-4 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 259858-54-3
CMF C13 H20 N2 S

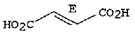
Absolute stereochemistry.



CM 2

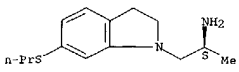
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-56-5 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(propylthio)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

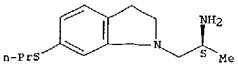


RN 259858-57-6 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(propylthio)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-56-5
CMF C14 H22 N2 S

Absolute stereochemistry.

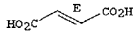


CM 2

CRN 110-17-8
CMF C4 H4 O4

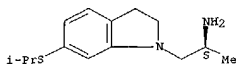
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Double bond geometry as shown.



RN 259858-58-7 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-[(1-methylethyl)thio]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

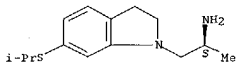


RN 259858-59-8 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-[(1-methylethyl)thio]-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-58-7
CMF C14 H22 N2 S

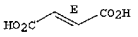
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



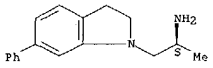
RN 259858-61-2 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-phenyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 259858-60-1
CMF C17 H20 N2

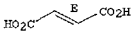
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

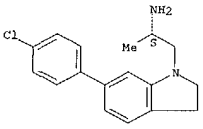


RN 259858-63-4 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(4-chlorophenyl)-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-62-3
CMF C17 H19 Cl N2

Absolute stereochemistry.

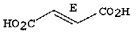


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

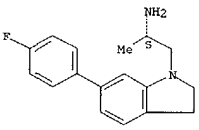


RN 259858-65-6 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(4-fluorophenyl)-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-64-5
CMF C17 H19 F N2

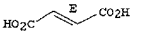
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

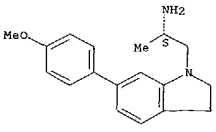


RN 259858-67-8 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-(4-methoxyphenyl)-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-66-7
CMF C18 H22 N2 O

Absolute stereochemistry.

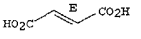


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

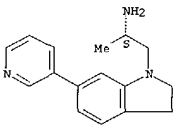


RN 259858-69-0 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(3-pyridinyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-68-9
CMF C16 H19 N3

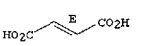
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



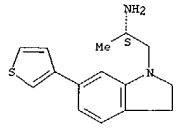
RN 259858-71-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(3-thienyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-70-3
CMF C15 H18 N2 S

Absolute stereochemistry.

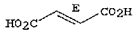
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

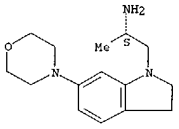


RN 259858-73-6 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(4-morpholinyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-72-5
CMF C15 H23 N3 O

Absolute stereochemistry.

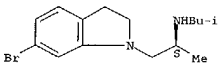


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

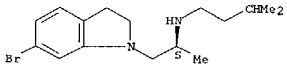
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 259858-85-0 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-N-(3-methylbutyl)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

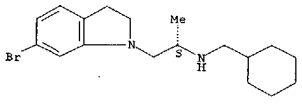
Absolute stereochemistry.



● HCl

RN 259858-86-1 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-N-(cyclohexylmethyl)-2,3-dihydro-.alpha.-methyl-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

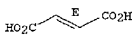


●2 HCl

RN 259858-87-2 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-N-(4-pyridinylmethyl)-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

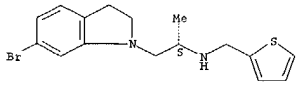
Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 259858-82-7 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-N-(2-thienylmethyl)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

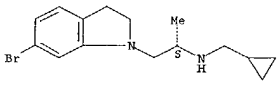
Absolute stereochemistry.



● HCl

RN 259858-83-8 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-N-(cyclopropylmethyl)-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

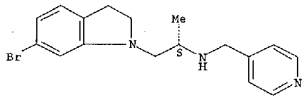


● HCl

RN 259858-84-9 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-N-(2-methylpropyl)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

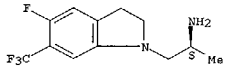
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl

RN 259858-88-3 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

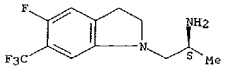


RN 259858-89-4 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-88-3
CMF C12 H14 F4 N2

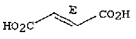
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

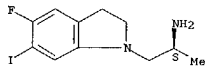
Double bond geometry as shown.



RN 259858-90-7 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-6-iodo-.alpha.-methyl-,

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

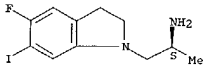


RN 259858-91-8 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-6-iodo-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-90-7
CMF C11 H14 F I N2

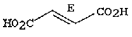
Absolute stereochemistry.



CM 2

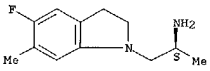
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-92-9 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.,6-dimethyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



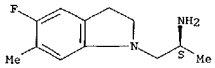
RN 259858-93-0 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.,6-dimethyl-,

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-92-9
CMF C12 H17 F N2

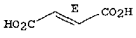
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

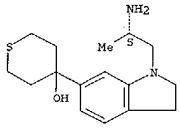


RN 259858-95-2 CAPLUS
CN 2H-Thiopyran-4-ol, 4-[1-[(2S)-2-aminopropyl]-2,3-dihydro-1H-indol-6-yl]tetrahydro-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-94-1
CMF C16 H24 N2 O S

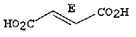
Absolute stereochemistry.



CM 2

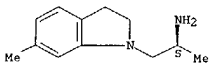
CRN 110-17-8
CMF C4 H4 O4

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Double bond geometry as shown.



RN 259858-96-3 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.,6-dimethyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

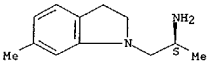


RN 259858-97-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.,6-dimethyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-96-3
CMF C12 H18 N2

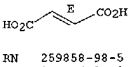
Absolute stereochemistry.



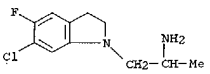
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

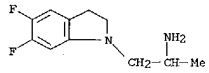


RN 259858-98-5 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)

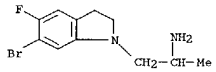


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

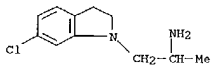
RN 259858-99-6 CAPLUS
CN 1H-Indole-1-ethanamine, 5,6-difluoro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



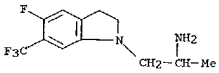
RN 259859-00-2 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-5-fluoro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



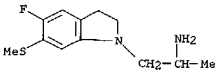
RN 259859-01-3 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 259859-02-4 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

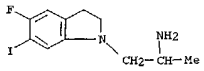


RN 259859-03-5 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(methylthio)- (9CI) (CA INDEX NAME)

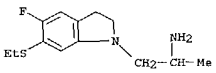


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

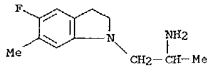
RN 259859-04-6 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-6-iodo-.alpha.-methyl- (9CI) (CA INDEX NAME)



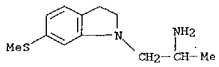
RN 259859-05-7 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-5-fluoro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 259859-06-8 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.,6-dimethyl- (9CI) (CA INDEX NAME)

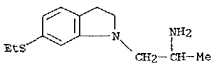


RN 259859-07-9 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(methylthio)- (9CI) (CA INDEX NAME)

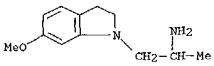


RN 259859-08-0 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)

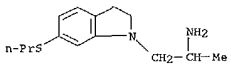
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



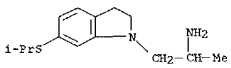
RN 259859-09-1 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)



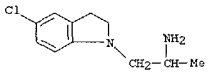
RN 259859-10-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(propylthio)- (9CI) (CA INDEX NAME)



RN 259859-11-5 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-[(1-methylethyl)thio]- (9CI) (CA INDEX NAME)

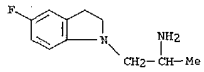


RN 259859-12-6 CAPLUS
CN 1H-Indole-1-ethanamine, 5-chloro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)

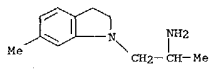


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

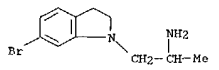
RN 259859-13-7 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 259859-14-8 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.,6-dimethyl- (9CI) (CA INDEX NAME)



RN 259860-43-0 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



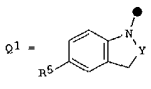
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:511892 CAPLUS
DOCUMENT NUMBER: 127:121565
TITLE: Preparation of arylethanolamine derivatives as agonists of atypical .beta.-adrenoceptors.
INVENTOR(S): Green, Richard Howard; Foxton, Michael Walter
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Green, Richard Howard; Foxton, Michael Walter
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721665	A1	19970619	WO 1996-EP5469	19961206
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9711915	A1	19970703	AU 1997-11915	19961206
EP 865421	A1	19980923	EP 1996-943050	19961206
EP 865421	B1	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000506498	T2	20000530	JP 1997-521716	19961206
AT 215064	E	20020415	AT 1996-943050	19961206
ES 2175166	T3	20021116	ES 1996-943050	19961206
US 6048872	A	20000411	US 1998-77910	19980605

PRIORITY APPLN. INFO.: GB 1995-25177 A 19951208
WO 1996-EP5469 W 19961206
OTHER SOURCE(S): MARPAT 127:121565
GI

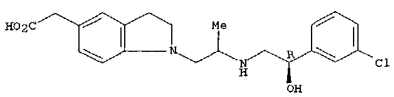


AB HOCHR1CH2NHCHR2CH2R3 [R1 = (substituted) aryl; R2, R4 = H, alkyl; R3 = (substituted) 4-R4NC6H4R5, Q1; R5 = ZCH2CO2H; Z = bond, O; Y = (CH2)n; n = 1-3], were prepd. Thus, [4-(2R)-12-(3-chlorophenyl)-2R-hydroxyethylamino]propylamino]-2,3-difluorophenylacetic acid (prepn. given) inhibited indomethacin-induced antral damage in rats with ED50 = 0.003 mg/kg orally.

IT **192650-36-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylethanolamine derivs. as agonists of atypical .beta.-adrenoceptors)

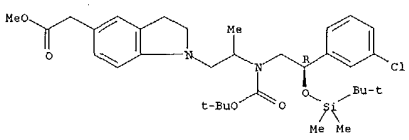
L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 192650-36-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-2,3-dihydro-, [2(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



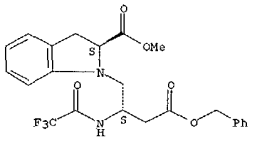
IT **192650-60-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylethanolamine derivs. as agonists of atypical .beta.-adrenoceptors)
RN 192650-60-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[2-[[2-(3-chlorophenyl)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl][(1,1-dimethylethoxy)carbonyl]amino]propyl]-2,3-dihydro-, methyl ester, [2(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



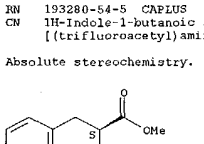
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(prepn. of antihypertensive tricyclic azepine derivs. useful as inhibitors of enkephalinase and ACE)
RN 193280-53-4 CAPLUS
CN 1H-Indole-1-butanoic acid, 2,3-dihydro-2-(methoxycarbonyl)-.beta.-[(trifluoroacetyl)amino]-, phenylmethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 193280-54-5 CAPLUS
CN 1H-Indole-1-butanoic acid, 2,3-dihydro-2-(methoxycarbonyl)-.beta.-[(trifluoroacetyl)amino]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:442435 CAPLUS
DOCUMENT NUMBER: 127:149088
TITLE: Preparation of antihypertensive tricyclic azepine derivatives useful as inhibitors of enkephalinase and angiotensin converting enzyme (ACE)
INVENTOR(S): De Lombaert, Stephane
PATENT ASSIGNEE(S): Ciba-Geigy Corp., USA
SOURCE: U.S., 14 pp., Cont.-in-part of U.S. Ser. No. 85,223, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5644055	A	19970701	US 1995-569117	19951220
WO 9501353	A1	19950112	WO 1994-EP1978	19940617
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1993-85223 19930630
WO 1994-EP1978 19940617
OTHER SOURCE(S): MARPAT 127:149088
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

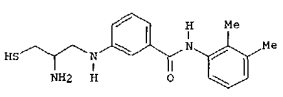
AB Disclosed are the compds. of formula I (X = oxo, OH or lower alkoxy and H, or 2H; Ra and Rb independently = H, OH, lower alkoxy, NO2, NH2 or halogen; or Ra and Rb on adjacent carbons taken together = lower alkylenedioxy; Rc = H, lower alkyl or aryl-lower alkyl; R = H or acyl; R1 = H, lower alkyl, aryl, aryl-lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, biaryl, biaryl-lower alkyl or CF3; R2 = H or lower alkyl; or R1 and R2 together with the carbon to which they are attached = cycloalkylene or benzo-fused cycloalkylene; m = 1 or 2; n = 0 or 1; CO2R3 = carboxyl or carboxyl derivatized in form of a pharmaceutically acceptable ester; disulfide derivs. formed from said compds. wherein R = H) and pharmaceutically acceptable salts thereof; pharmaceutical compns. comprising said compds.; methods for prepn. of said compds.; intermediates; and methods of treating disorders in mammals which are responsive to ACE and neutral endopeptidase (NEP) inhibition (no data) by administration of said compds. to mammals in need of such treatment. Thus, to a stirred soln. of 1.45 g II (prepd.) is added a soln. of 1.51 g (S)-.alpha.-benzyl-S-acetylthioacetic acid, 2.97 g BOP reagent, and Et3N 1.9 mL, warmed to room temp. for 2 h, then stirred for 18 h at room temp. The product is crystd. from Et acetate and hexane at 50.degree. for 1 h to yield an azepinoindolone deriv. (III).

IT **193280-53-4P 193280-54-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:342744 CAPLUS
DOCUMENT NUMBER: 127:50410
TITLE: Preparation of 3-(aminomercaptopropylamino)benzanilides and analogs as farnesyl protein transferase inhibitors
INVENTOR(S): Ciccarone, Terrence M.; Dinsmore, Christopher J.; Stokker, Gerald E.; Wai, John S.; Williams, Theresa M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 412,621, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5631280	A	19970520	US 1995-448865	19950524
WO 9630014	A1	19961003	WO 1996-US3958	19960325
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, US, UZ, VN, AM, AZ, BY, KG, KZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2216526	AA	19961003	CA 1996-2216526	19960325
AU 9653218	A1	19961016	AU 1996-53218	19960325
EP 817629	A1	19980114	EP 1996-909845	19960325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503418	T2	19990326	JP 1996-529541	19960325

PRIORITY APPLN. INFO.: US 1995-412621 19950329
US 1995-448865 19950524
WO 1996-US3958 19960325
OTHER SOURCE(S): MARPAT 127:50410
GI



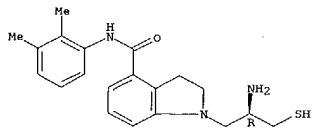
I

AB Title compds., e.g., HS(CH2)mCH(NRR1)C(:X)NR2(CH2)nZ1Z2R3 [R,R1,R2 = H or (ar)alkyl; R3 = alk(en)yl, heterocyclyl, aryl, etc.; X = O or H2; Z1 = (un)substituted phenylene; Z2 = CH:CH, CH2, CO, CONH, etc.; m = 1 or 2; n = 0 or 1] were claimed. Disclosed title compds. were exemplified by benzanilide (R)-I prepd. by amidation of 3-(O2N)C6H4CO2H by H2NC6H3Me2-2,3 followed by redn. and reductive N-alkylation by Me3CO2CNHCH(CH2SCPh3)CHO and deprotection. Data for biol. activity of title compds. were given.

IT **183269-27-4P 183269-92-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-(aminomercaptopropylamino)benzanilides and analogs as farnesyl protein transferase inhibitors)
RN 183269-27-4 CAPLUS
CN 1H-Indole-4-carboxamide, 1-(2-amino-3-mercaptopropyl)-N-(2,3-dimethylphenyl)-2,3-dihydro-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

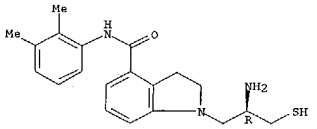
Absolute stereochemistry.



●2 HCl

RN 183269-92-3 CAPLUS
CN 1H-Indole-4-carboxamide, 1-(2-amino-3-mercaptopropyl)-N-(2,3-dimethylphenyl)-2,3-dihydro-, (R)- (9CI) (CA INDEX NAME)

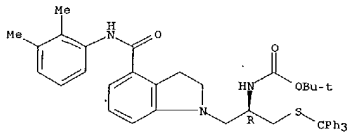
Absolute stereochemistry.



IT **183270-26-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3-(aminomercaptopropylamino)benzanilides and analogs as farnesyl protein transferase inhibitors)
RN 183270-26-0 CAPLUS
CN Carbamic acid, [1-[[4-[[(2,3-dimethylphenyl)amino]carbonyl]-2,3-dihydro-1H-indol-1-yl]methyl]-2-[(triphenylmethyl)thio]ethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



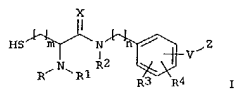
L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:694360 CAPLUS
DOCUMENT NUMBER: 125:328305
TITLE: Preparation of (2-amino-3-mercaptopropylamino)benzene derivatives as inhibitors of farnesyl-protein transferase
INVENTOR(S): Ciccarone, Terrence M.; Williams, Theresa M.; Dinsmore, Christopher J.; Stokker, Gerald E.; Wai, John S.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

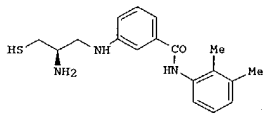
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630014	A1	19961003	WO 1996-US3958	19960325
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, US, UZ, VN, AM, AZ, BY, KG, KZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5631280	A	19970520	US 1995-448865	19950524
AU 9653218	A1	19961016	AU 1996-53218	19960325
EP 817629	A1	19980114	EP 1996-909845	19960325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503418	T2	19990326	JP 1996-529541	19960325

PRIORITY APPLN. INFO.: US 1995-412621 19950329
US 1995-448865 19950524
WO 1996-US3958 19960325

OTHER SOURCE(S): MARPAT 125:328305
GI



I



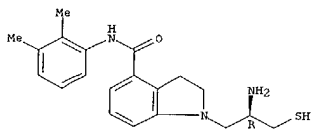
II

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB The title compds. [I; X = O, H2; R, R1, R2 = H, C1-6 alkyl, C1-6 aralkyl; R3, R4 = H, (substituted) C1-6 alkyl, (substituted) cycloalkyl, etc.; V = C.tplbond.C, C(O), O, etc.; Z = (substituted) C1-8 alkyl, C2-8 alkenyl, aryl, heterocyclyl; m = 1-2; n = 0-1], useful for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras, and for treating cancer, were prepd. Thus, reaction of 3-nitrobenzoic acid with 2,3-dimethylaniline in the presence of 1-hydroxybenzotriazole, EDC and Et3N in DMF followed by hydrogenation of the resulting 3-nitro-N-(2,3-dimethylphenyl)benzamide over Pd/C in MeOH/THF, reaction of 3-amino-N-(2,3-dimethylphenyl)benzamide with N-Boc-S-(triphenylmethyl)cysteinal in the presence of NaBH(OAc)3 in 1,2-C12C2H4 and deprotection of the resulting intermediate afforded the expected product (R)-II.2HCl. In general, compds. I showed IC50 of < 50 .mu.M against human FPTase.

IT **183269-27-4P 183269-92-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (2-amino-3-mercaptopropylamino)benzene derivs. as inhibitors of farnesyl-protein transferase)
RN 183269-27-4 CAPLUS
CN 1H-Indole-4-carboxamide, 1-(2-amino-3-mercaptopropyl)-N-(2,3-dimethylphenyl)-2,3-dihydro-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

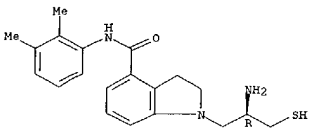
Absolute stereochemistry.



●2 HCl

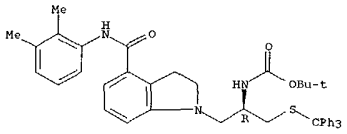
RN 183269-92-3 CAPLUS
CN 1H-Indole-4-carboxamide, 1-(2-amino-3-mercaptopropyl)-N-(2,3-dimethylphenyl)-2,3-dihydro-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IT **183270-26-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (2-amino-3-mercaptopropylamino)benzene derivs. as inhibitors of farnesyl-protein transferase)
RN 183270-26-0 CAPLUS
CN Carbamic acid, [1-[[4-[[(2,3-dimethylphenyl)amino]carbonyl]-2,3-dihydro-1H-indol-1-yl]methyl]-2-[(triphenylmethyl)thio]ethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

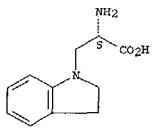
Absolute stereochemistry.



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:422389 CAPLUS
DOCUMENT NUMBER: 117:22389
TITLE: Substitution of glutamic acid 109 by aspartic acid alters the substrate specificity and catalytic activity of the .beta.-subunit in the tryptophan synthase bienzyme complex from Salmonella typhimurium
AUTHOR(S): Brzovic, Peter S.; Kayastha, Arvind M.; Miles, Edith Wilson; Dunn, Michael F.
CORPORATE SOURCE: Dep. Biochem., Univ. California, Riverside, CA, 92521-0129, USA
SOURCE: Biochemistry (1992), 31(4), 1180-90
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In an effort to understand the catalytic mechanism of the tryptophan synthase .beta.-subunit from S. typhimurium, possible functional active site residues were identified (on the basis of the 3-dimensional crystal structure of the bienzyme complex) and targeted for anal. utilizing site-directed mutagenesis. The chromophoric properties of the pyridoxal 5'-phosphate cofactor provided a particularly convenient and sensitive spectral probe to directly investigate changes in catalytic events which occur upon modification of the .beta.-subunit. Substitution of Asp for Glu-109 in the .beta.-subunit altered both the catalytic activity and the substrate specificity of the .beta.-reaction. Steady-state data revealed that the .beta.-reaction catalyzed by the .beta.E109D .alpha.2.beta.2 mutant enzyme complex was reduced 27-fold compared to the wild-type enzyme. Rapid-scanning stopped-flow (RSSF) UV-visible spectroscopy showed that the mutation did not seriously affect the pre-steady-state reaction of the .beta.E109D mutant with L-serine to form the .alpha.-aminoacrylate intermediate, E(A-A). Binding of the .alpha.-subunit-specific ligand, .alpha.-glycerol phosphate (GP) to the .alpha.2.beta.2 complex exerted the same allosteric effects on the .beta.-subunit as obsd. with the wild-type enzyme. However, the pre-steady-state spectral changes for the reaction of indole with E(A-A) showed that the formation of the L-tryptophan quinonoid, E(Q3), was drastically altered. Discrimination against E(Q3) formation was also obsd. for the binding of L-tryptophan to the mutant .alpha.2.beta.2 complex in the reverse reaction. In contrast, substitution of Asp for Glu-109 increased the apparent affinity of the .beta.E109D .alpha.-aminoacrylate complex for the indole analog, indoline, and resulted in the increased rate of synthesis of the amino acid product, dihydroiso-L-tryptophan. Thus, the mutation affects the covalent bond-forming addn. reactions and the nucleophile specificity of the .beta.-reaction catalyzed by the bienzyme complex.
IT **113659-33-9**
RL: FORM (Formation, nonpreparative)
(formation of, by tryptophan synthase of Salmonella typhimurium, aspartate replacement of .beta.-subunit glutamate-109 effect on)
RN 113659-33-9 CAPLUS
CN 1H-Indole-1-propanoic acid, .alpha.-amino-2,3-dihydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

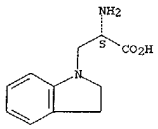
L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1988:146156 CAPLUS
DOCUMENT NUMBER: 108:146156
TITLE: The interconversion of E. coli tryptophan synthase intermediates is modulated by allosteric interactions
AUTHOR(S): Dunn, Michael F.; Aguilar, Valentin; Drewe, William F., Jr.; Houben, Karl; Robustell, Brian; Roy, Melinda
CORPORATE SOURCE: Dep. Biochem., Univ. California, Riverside, CA, 92521, USA
SOURCE: Indian Journal of Biochemistry & Biophysics (1987), 24(5, Suppl.), 44-51
CODEN: IJBBBQ; ISSN: 0301-1208
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The interrelationship between the allosteric properties of the native .alpha.2.beta.2 tryptophan synthase (EC 4.2.1.20) of Escherichia coli and the interconversion of covalent intermediates in reactions catalyzed by the .beta. catalytic sites was studied by employing rapid-scanning, stopped-flow, UV-visible spectroscopy (1) to detect and identify intermediates in the reactions of indole and L-serine and various analogs of these substrates and (2) to det. how effectors, such as DL-glycerol-3-phosphate and benzimidazole, influence the interconversion of chem. intermediates along the reaction path. Evidence was found for strong, pos.-cooperative interactions between the .alpha. and .beta. subunits which alter the energies of the ground states of intermediates and of the transition states for their interconversion. With some of the indole analogs, e.g., indoline and indoline homologs, reaction with L-serine resulted in the synthesis of new, artificial amino acid analogs of L-tryptophan in which a C-N bond (rather than a C-C bond) was synthesized. Certain other analogs of indole reacted with the enzyme-bound .alpha.-aminoacrylate Schiff base intermediate to yield quasi-stable quinoidal species with .lambda.max values of 454-468 nm (.lambda.max >40,000 M-1 cm-1). The transient kinetic time courses for the appearance of these quinoidal species consisted of 2 relaxations. The concn. dependencies of these relaxations were consistent with an allosteric model for .alpha.2.beta.2 wherein the .alpha.-aminoacrylate intermediate preexists in 2 slowly interconverting forms. The catalytic properties of 1 of these 2 forms were modulated via interaction with the allosteric effector DL-glycerol-3-phosphate. The other form already existed in a highly reactive form. The relationship of these findings to catalysis of bond formation/bond scission and to substrate channelling between the .alpha. and .beta. sites is discussed.
IT **113659-33-9**
RL: FORM (Formation, nonpreparative)
(formation of, in indoline interaction with tryptophan synthase .alpha.-aminoacrylate intermediate in Escherichia coli)
RN 113659-33-9 CAPLUS
CN 1H-Indole-1-propanoic acid, .alpha.-amino-2,3-dihydro-, (S)- (9CI) (CA INDEX NAME)

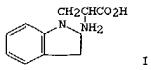
Absolute stereochemistry.

L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:594686 CAPLUS
DOCUMENT NUMBER: 107:194686
TITLE: A new enzymic reaction for producing new-type amino acids by Escherichia coli: production of .alpha.-amino-.beta.-(1-indoline) propionic acid from indoline and L-serine
AUTHOR(S): Kanamitsu, Osamu; Kitajima, Nakao; Nagoya, Ichiro
CORPORATE SOURCE: Corp. Res. Lab., Asahi Chem. Ind., Co., Ltd., Fuji, 416, Japan
SOURCE: Journal of Fermentation Technology (1987), 65(4), 395-403
CODEN: JFTED8; ISSN: 0385-6380
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

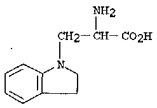


I

AB A product formed from indoline and L-serine by E. coli T4-3 was isolated and identified as .alpha.-amino-.beta.-(1-indoline)propionic acid (I) from data obtained by paper chromatog., elemental anal., UV, IR, 1H-NMR, 13C-NMR, and mass spectrometry. The reaction conditions and the requirements for the reaction were also studied. I was produced only using L-serine, L-serine Me ester, or L-serine Et ester as the amino acid source.

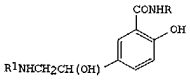
IT **110970-00-8**
RL: FORM (Formation, nonpreparative)
(formation of, from indoline and serine by Escherichia coli)

RN 110970-00-8 CAPLUS
CN 1H-Indole-1-propanoic acid, .alpha.-amino-2,3-dihydro- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1982:199236 CAPLUS
DOCUMENT NUMBER: 96:199236
TITLE: Arylethanolamines derived from salicylamide with .alpha.- and .beta.-adrenoceptor blocking activities. Preparation of labetalol, its enantiomers and related salicylamides
AUTHOR(S): Clifton, James E.; Collins, Ian; Hallett, Peter; Hartley, David; Lunts, Lawrence H. C.; Wicks, Philip D.
CORPORATE SOURCE: Chem. Dep., Glaxo Group Res. Ltd., Ware/Herts., SG12 0DJ, UK
SOURCE: Journal of Medicinal Chemistry (1982), 25(6), 670-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



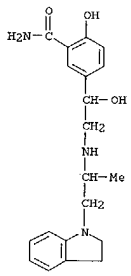
I

AB Phenylethanolamines I (R = H, Me, PhCH2, HOCH2CH2, NH2; R1 = alkyl or substituted alkyl) were prepd. and shown to possess .beta.-adrenergic blocking properties. When the basic N atom was substituted by some aralkyl groups, the compds. also blocked .alpha.-adrenoceptors. Labetalol (I; R = H, R1 = PhCH2CH2CHMe) is antihypertensive in animals and man, and syntheses of its 4 stereoisomers are described. The enantiomer with the (R) configuration at both asym. centers possessed most of the .beta.-blocking activity but little .alpha.-blocking activity. That with the (S) configuration at the alc. carbon and the (R) configuration on the amino substituent is predominantly an .alpha.-adrenoceptor blocking agent.

IT **81579-55-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 81579-55-7 CAPLUS
CN Benzamide, 5-[2-[[2-(2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-1-hydroxyethyl]-2-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:480721 CAPLUS
DOCUMENT NUMBER: 95:80721
TITLE: 1-Aminoalkyl-3-monophenylindolines and their pharmaceutical preparations
INVENTOR(S): Gadient, Fulvio
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3022648	A1	19810115	DE 1980-3022648	19800618
FI 8002002	A	19801230	FI 1980-2002	19800623
NL 8003674	A	19801231	NL 1980-3674	19800625
GB 2051811	A	19810121	GB 1980-20753	19800625
BE 884013	A1	19801229	BE 1980-9865	19800626
SE 8004757	A	19801230	SE 1980-4757	19800627
DK 8002803	A	19801230	DK 1980-2803	19800627
AU 8059739	A1	19810108	AU 1980-59739	19800627
FR 2460296	A1	19810123	FR 1980-14348	19800627
FR 2460296	B1	19830805		
ES 492884	A1	19810601	ES 1980-492884	19800627
ZA 8003888	A	19820224	ZA 1980-3888	19800627
CA 1134370	A1	19821026	CA 1980-354967	19800627
IL 60420	A1	19831031	IL 1980-60420	19800627
JP 56008363	A2	19810128	JP 1980-88451	19800628
FR 2514352	A1	19830415	FR 1982-18841	19821108
PRIORITY APPLN. INFO.:			CH 1979-6098	19790629

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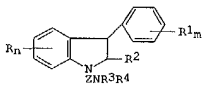


I

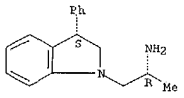
AB The antidepressive (no data) compds. I (R, R1 = H, halogen, alkyl, alkoxy, OH, CF3; n = 1, 2; m = 1-3; R2, R3, R4 = H, alkyl; Z = C2-4 alkylene) and their salts were prepd. Thus, 3-phenylindole reacted with ClCH2CONH2 in DMF, and the resulting amide was reduced with LiAlH4 to give 1-(2-aminoethyl-3-phenylindole, which was reduced by Na in liq. NH3 to 1-(2-aminoethyl)-3-phenylindoline.

IT **77554-35-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

RN 77554-35-9 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-3-phenyl-,

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-3-phenyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

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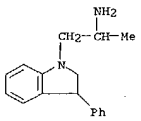
CRN 77554-34-8
CMF C17 H20 N2



CM 2

CRN 110-16-7
CMF C4 H4 O4

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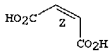
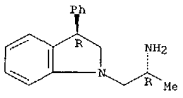


IT **77548-78-8P 77548-79-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 77548-78-8 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-3-phenyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

RN 77548-79-9 CAPLUS

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FULL ESTIMATED COST	83.37	232.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.07	-11.07

STN INTERNATIONAL LOGOFF AT 11:17:44 ON 24 SEP 2003

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LOGINID:ssspta1600rxa

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS	4	Jul 15	Data from 1960-1976 added to RDISCLOSURE
NEWS	5	Jul 21	Identification of STN records implemented
NEWS	6	Jul 21	Polymer class term count added to REGISTRY
NEWS	7	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS	8	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	9	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	10	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS	11	AUG 15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS	12	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS	13	AUG 15	TEMA: one FREE connect hour, per account, in September 2003
NEWS	14	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	15	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	16	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	17	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	18	SEP 22	DIPPR file reloaded

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   23 SEP 2003  HIGHEST RN 591719-82-3
DICTIONARY FILE UPDATES:  23 SEP 2003  HIGHEST RN 591719-82-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
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Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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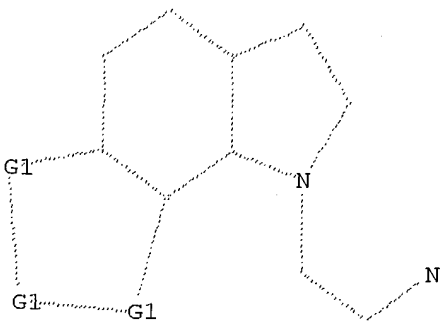


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G1 C,O


Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -   2033 TO ITERATE
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INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
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PROJECTED ANSWERS: 2 TO 201

L2 2 SEA SSS SAM L1

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FILE COVERS 1907 - 24 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 23 Sep 2003 (20030923/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:716279 CAPLUS
DOCUMENT NUMBER: 137:232679
TITLE: Preparation of piperazines as selective serotonin 5-HT2 receptor ligands for the treatment of obesity and other disorders
INVENTOR(S): Hebeisen, Paul; Mattei, Patrizio; Muller, Marc; Richter, Hans; Roever, Stephan; Taylor, Sven
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.; Vernalis Research Limited
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072584	A2	20020919	WO 2002-EP2443	20020306
WO 2002072584	A3	20030103		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002169163	A1	20021114	US 2002-92751	20020307

PRIORITY APPLN. INFO.: GB 2001-6177 A 20010313
OTHER SOURCE(S): MARPAT 137:232679
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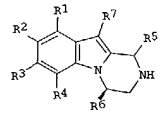
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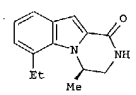
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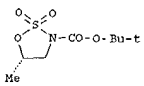
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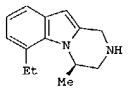
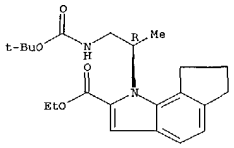

IV

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
AB Title compds. I [R1-R4 = H, halo, OH, etc. with the proviso that at least one of the moieties R1-R4 is not H; R5 = H, alkyl, cycloalkyl; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, halo, alkyl, etc.], their pharmaceutically acceptable salts and formulations were prepd. For example, LAH redn. of amide II, prepd. from oxathiazolidine III and 7-ethyl-1H-indole-2-carboxylic acid Et ester, afforded claimed piperazine IV in 100% yield. In serotonin receptor binding assays, piperazine IV exhibited activity toward the 5-HT2c, 5-HT2b and 5-HT2a receptors with Ki values of 50, 86 and 205 nM, resp. Also compds. I have functional activity at the human 5-HT2c receptor in the range of 10,000 to 0.1 nM. Compds. I are claimed for the treatment or prevention of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, etc. (no data provided).
IT **459817-56-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of piperazines as selective serotonin 5-HT2 receptor ligands for the treatment of obesity and other disorders)
RN 459817-56-2 CAPLUS
CN Cyclopent[g]indole-2-carboxylic acid, 1-[(1R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-methylethyl]-1,6,7,8-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:213834 CAPLUS
DOCUMENT NUMBER: 136:263292
TITLE: Preparation of therapeutic and diagnostic agents containing an opioid receptor targeting moiety
INVENTOR(S): Meyer, Damon L.; Kasina, Sudhakar
PATENT ASSIGNEE(S): NeoRx Corporation, USA
SOURCE: U.S., 57 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6359111	B1	20020319	US 1999-321054	19990527

PRIORITY APPLN. INFO.: US 1998-87209P P 19980528
OTHER SOURCE(S): MARPAT 136:263292
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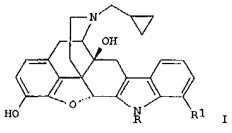
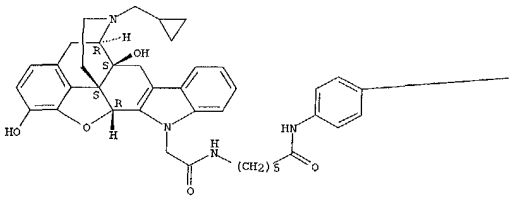

I

AB Opioid receptor ligands, such as I [R = L-M, R1 = H; R = H, R1 = L-M; L = 2-60 atom linking group; M = therapeutic, diagnostic, radionuclide chelating, fluorochrome, toxin, polyboron, protein, biol. response modifier moiety], were prepd. for use treating cancer or imaging opioid receptors either inside or outside of the central nervous system. Thus, I [R = H, R1 = biotinoyl-NH-(CH2)5CONH(CH2)2NHCO(CH2)5NHCO-] via an amidation reaction of N-hydroxysuccinimidyl biotinamidocaproate and I [R = H, R1 = H2N(CH2)2NHCO(CH2)5NHCO-] in DMF. The prepd. opioid receptor ligands were tested for .delta.-opioid receptor binding activity.
IT **404596-00-5P 404596-02-7P 404965-14-6P**
404965-15-7P 405066-37-7P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of therapeutic and diagnostic agents contg. an opioid receptor targeting moiety)
RN 404596-00-5 CAPLUS
CN 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, 2-[[4-[[6-[[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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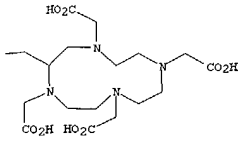
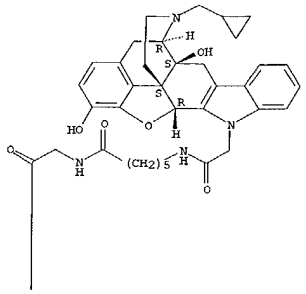


RN 404596-02-7 CAPLUS
CN 4,8-Methanobenzofuro[2,3-a]pyrido[4,3-b]carbazole-14(5H)-acetamide, 7-(cyclopropylmethyl)-N-[6-[[2-[(3,6-dihydroxy-3'-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5'-yl)amino]-2-oxoethyl]amino]-6-oxohexyl]-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-, (4bS,8R,8aS,14bR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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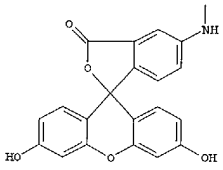
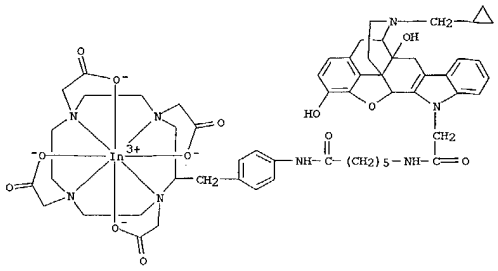
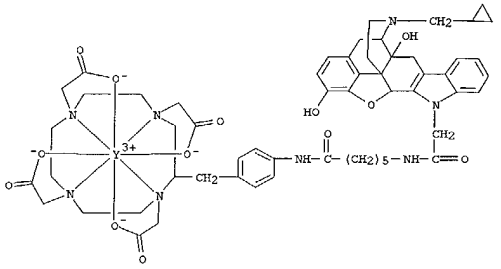


RN 404965-14-6 CAPLUS
CN Indate(1-), [2-[[4-[[6-[[[7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetato(4-)-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10,.kappa.O1,.kappa.O4,.kappa.O7,.kappa.O10]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



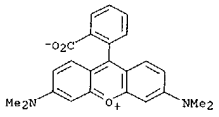
RN 404965-15-7 CAPLUS
CN Yttrate(1-), [2-[[4-[[6-[[[7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetato(4-)-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10,.kappa.O1,.kappa.O4,.kappa.O7,.kappa.O10]- (9CI) (CA INDEX NAME)



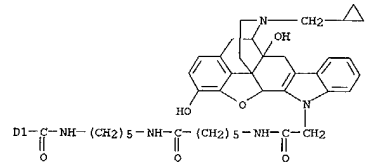
RN 405066-37-7 CAPLUS
CN Xanthylium, 9-[2-carboxy-4(or 5)-[[[5-[[6-[[[(4bS,8R,8aS,14bR)-7-

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]pentyl]amino]carbonyl]phenyl]-3,4-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)

PAGE 1-A



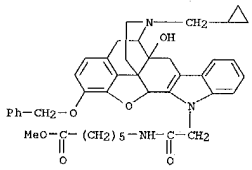
PAGE 2-A



IT **404595-95-5P 404595-96-6P 404595-98-8P**
404595-99-9P 404596-01-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of therapeutic and diagnostic agents contg. an opioid receptor targeting moiety)

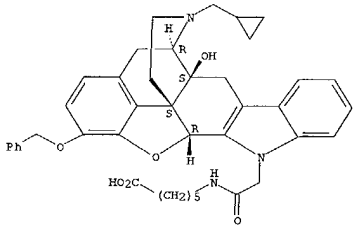
RN 404595-95-5 CAPLUS
CN Hexanoic acid, 6-[[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-8a-hydroxy-1-(phenylmethoxy)-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 404595-96-6 CAPLUS
CN Hexanoic acid, 6-[[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-8a-hydroxy-1-(phenylmethoxy)-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

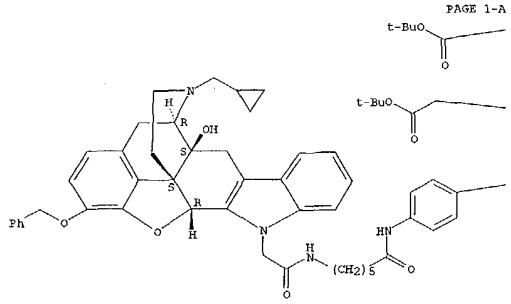


RN 404595-98-8 CAPLUS
CN 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, 2-[[4-[[6-[[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-8a-hydroxy-1-(phenylmethoxy)-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]-, tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

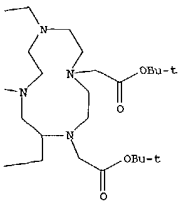
Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

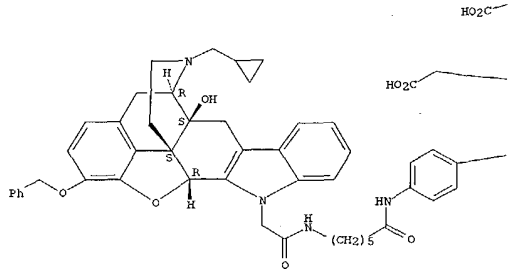


RN 404595-99-9 CAPLUS
CN 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, 2-[[4-[[6-[[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-8a-hydroxy-1-(phenylmethoxy)-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

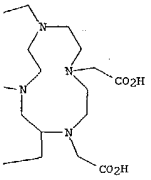
Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



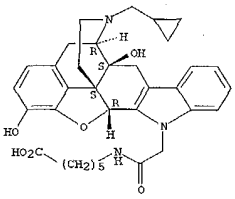
PAGE 1-B



RN 404596-01-6 CAPLUS
CN Hexanoic acid, 6-[[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



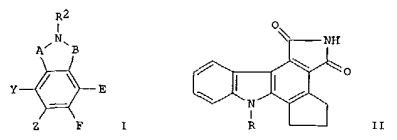
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:833276 CAPLUS
DOCUMENT NUMBER: 135:371989
TITLE: Preparation of novel multicyclic compounds and their amino acid derivatives as inhibitors of enzymes such as poly(ADP-ribose) polymerase
INVENTOR(S): Ator, Mark A.; Bihovsky, Ron; Chatterjee, Sankar; Dunn, Derek; Hudkins, Robert L.
PATENT ASSIGNEE(S): Cephalon, Inc., USA
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085686	A2	20011115	WO 2001-US14996	20010509
WO 2001085686	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028815	A1	20020307	US 2001-850858	20010508
EP 1294725	A2	20030326	EP 2001-935215	20010509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010993	A	20030624	BR 2001-10993	20010509
NO 2002005376	A	20030108	NO 2002-5376	20021108
PRIORITY APPLN. INFO.:			US 2000-202947P P	20000509
			US 2001-850858 A	20010508
			WO 2001-US14996 W	20010509

OTHER SOURCE(S): MARPAT 135:371989
GI



AB The title compds. such as penta[a]pyrrolo[3,4-c]carbazole, hexano[a]pyrrolo[3,4-c]carbazole, pyrrolo[3,4-c]carbazole, and furano[a-3,2]pyrrolo[3,4-c]carbazole derivs. [I: A, B = CO, CH(OR3), CH(SR3), CH2, CHR3, CHR3CHR4, CR3R4, COR3, N:CR3, SO, SO2 (wherein R3, R4 = H, optionally substituted lower alkyl or aryl); Y and Z, together with the carbon to which they are attached, form an (un)substituted mono- or bicyclic aryl or bicyclic heteroaryl, or C3-5 heteroaryl; E, F = lower

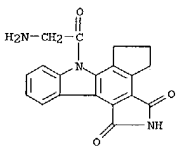
L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
alkyl or E and F, together with the carbon to which they are attached, form an (un)substituted C4-7 cycloalkyl, C3-6 heterocycloalkyl or heteroaryl, or an (un)substituted heterocycloalkyl endocyclically comprising at least one group G (wherein G = O, S, SO, SO2, NR2, NR2CO, NR2CONR3, NR2SO2, NR3SO2; R2 = H, optionally substituted lower alkyl or alkanoyl, CHO, acetyl, lower alkylsulfonyl, arylsulfonyl, an optionally protected amino acid)] are prepd. These compds. are effective in the treatment of diseases or disease states related to the activity of enzymes such as poly(ADP-ribose) polymerase (PARP), vascular endothelial growth factor receptor kinase (VEGFR2 kinase), and MLK3 kinase (a member of the mixed lineage kinase family), including, for example, traumatic central nervous system injuries, neurodegenerative diseases (in particular Parkinson's, Huntington's, or Alzheimer's disease), inflammation, cerebral or cardiac ischemia, endotoxic shock, diabetes, or cellular proliferative disorders (in particular cancer, solid tumors, diabetic retinopathy, intraocular neovascular syndromes, macular degeneration, rheumatoid arthritis, psoriasis, or endometriosis). They also suppress the formation of blood vessels (angiogenesis) and prevent neuronal degrdn. assocd. with traumatic central nervous system injuries. Thus, 2H-1,3,4,5,6,7-hexahydrocyclopenta[a]pyrrolo[3,4-c]carbazole-1,3-dione (II; R = H) (prepn. given) was treated with NaH in DMF at room temp. for 30 min and condensed with a stirred mixt. of Boc-Lys(Boc)-OH dicyclohexylamine salt, TBTU, N-Methylmorpholine, and DMF at room temp. for 1 h, followed by treatment of the product with 4 N HCl in dioxane to give II (R = H-Lys). II (R = H-Lys) showed IC50 of .mu.g/mL against of 22 nM against PARP.

IT **374069-19-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of novel multicyclic compds. and their amino acid derivs. as inhibitors of enzymes for treatment of diseases related to enzymes such as poly(ADP-ribose) polymerase, VEGFR2 kinase, and MLK3 kinase)

RN 374069-19-9 CAPLUS
CN Carbamic acid, [(1S)-1-[(1,2,3,4,5,6-hexahydro-1,3-dioxo-7H-cyclopenta[a]pyrrolo[3,4-c]carbazol-7-yl)carbonyl]-1,5-pentanediyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

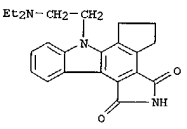
Absolute stereochemistry.

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-(aminoacetyl)-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

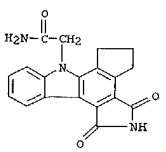


● HCl

RN 374069-13-3 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[2-(diethylamino)ethyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

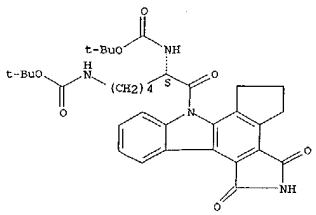


RN 374069-16-6 CAPLUS
CN 7H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-7-acetamide, 1,2,3,4,5,6-hexahydro-1,3-dioxo- (9CI) (CA INDEX NAME)



RN 374069-20-2 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

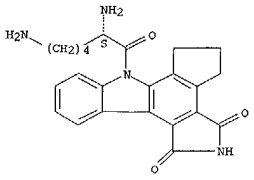
L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **374069-09-7P 374069-11-1P 374069-13-3P**
374069-16-6P 374069-20-2P 374070-85-6P
374070-86-7P 374070-87-8P 374070-89-0P
374070-90-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel multicyclic compds. and their amino acid derivs. as inhibitors of enzymes for treatment of diseases related to enzymes such as poly(ADP-ribose) polymerase, VEGFR2 kinase, and MLK3 kinase)

RN 374069-09-7 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)

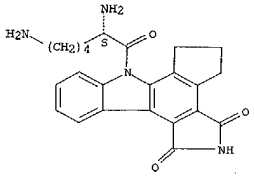
Absolute stereochemistry.



●2 HCl

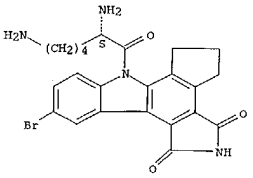
RN 374069-11-1 CAPLUS

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Absolute stereochemistry.



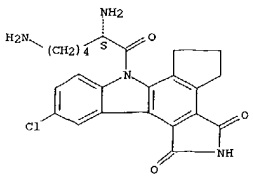
RN 374070-85-6 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 10-bromo-7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 374070-86-7 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 10-chloro-7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

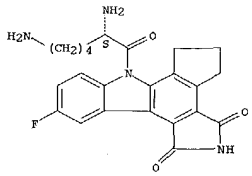
Absolute stereochemistry.



L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

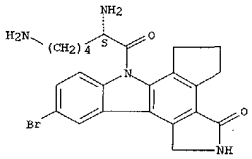
RN 374070-87-8 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[(2S)-2,6-diamino-1-oxohexyl]-10-fluoro-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 374070-89-0 CAPLUS
CN 3H-Cyclopenta[a]pyrrolo[3,4-c]carbazol-3-one, 10-bromo-7-[(2S)-2,6-diamino-1-oxohexyl]-1,2,4,5,6,7-hexahydro-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

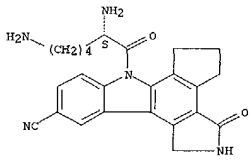


●2 HCl

RN 374070-90-3 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-10-carbonitrile, 7-[(2S)-2,6-diamino-1-oxohexyl]-2,3,4,5,6,7-hexahydro-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



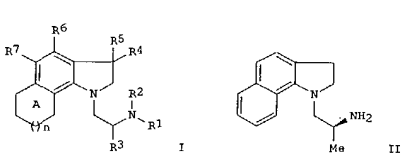
●2 HCl

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:137191 CAPLUS
DOCUMENT NUMBER: 134:193338
TITLE: Preparation and use of condensed indoline derivatives and their use as 5-HT, in particular 5-HT2c, receptor ligands
INVENTOR(S): Roffey, Jonathan Richard Anthony; Davidson, James Edward Paul; Mansell, Howard Langham; Hamlyn, Richard John; Adams, David Reginald
PATENT ASSIGNEE(S): Vernalis Research Limited, UK
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012602	A1	20010222	WO 2000-GB3008	20000804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013314	A	20020402	BR 2000-13314	20000804
EP 1202964	A1	20020508	EP 2000-951696	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003507366	T2	20030225	JP 2001-517500	20000804
ZA 2001010218	A	20021212	ZA 2001-10218	20011212
PRIORITY APPLN. INFO.:			GB 1999-18965 A	19990811
			WO 2000-GB3008 W	20000804

OTHER SOURCE(S): MARPAT 134:193338
GI



AB Novel compds. I and use thereof are claimed [wherein; R1, R2 are H, alkyl; R3 is alkyl; R4, R5 are H, alkyl; R6, R7 are H, halo, OH, alkyl, aryl, NH2, alkylamino, dialkylamino, alkoxy, aryloxy, alkylthio, alkylsulfoxyl, alkylsulfonyl, nitro, carbonitrile, carbo-alkoxy, carbo-aryloxy and carboxyl; A is a 5- or 6-membered (un)satd. (hetero)cycle (n is 1 or 2)]. Eleven examples are given. The synthesis of II proceeded by alkylation of benz[g]indole with the corresponding N-tert-butoxycarbonyl-protected

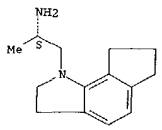
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

sidechain. The resulting indole was converted to the indoline with sodium cyanoborohydride in acetic acid. Deprotection with trifluoroacetic acid furnished II as an oil and isolation of a solid as its hemi-fumarate deriv. Compds. I showed affinity for 5-HT2A, 5-HT2B and 5-HT2C receptors in a CHO cell line. Compd. II had a Ki of 107 nM in a radiolabeled [3H]-5-HT assay. Treatment of disorders of the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus, and sleep apnea, and particularly the treatment of obesity are claimed uses of compds. I.

IT **327183-08-4P 327183-09-5P 327183-10-8P**
327183-11-9P 327183-12-0P 327183-13-1P
327183-17-5P 327183-18-6P 327185-05-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)

RN 327183-08-4 CAPLUS
CN Cyclopent[g]indole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

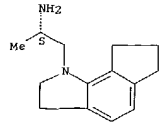


RN 327183-09-5 CAPLUS
CN Cyclopent[g]indole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-08-4
CMF C14 H20 N2

Absolute stereochemistry.

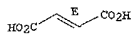


CM 2

CRN 110-17-8

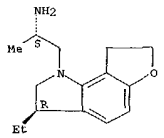
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-10-8 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

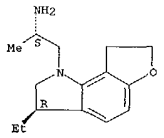


RN 327183-11-9 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 327183-10-8
CMF C15 H22 N2 O

Absolute stereochemistry.

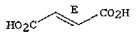


CM 2

CRN 110-17-8
CMF C4 H4 O4

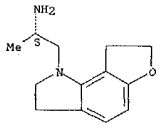
Double bond geometry as shown.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 327183-17-5 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

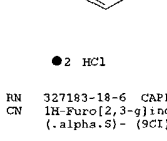
Absolute stereochemistry.



●2 HCl

RN 327183-18-6 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



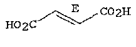
RN 327185-05-7 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-18-6
CMF C13 H18 N2 O

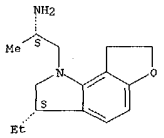
Absolute stereochemistry.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 327183-12-0 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

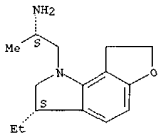


RN 327183-13-1 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 327183-12-0
CMF C15 H22 N2 O

Absolute stereochemistry.

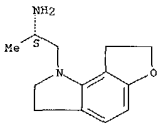


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

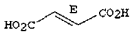
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

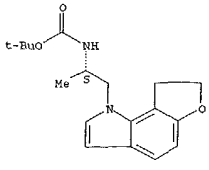
Double bond geometry as shown.



IT **327183-27-7P 327183-28-8P 327183-62-0P**
327183-63-1P 327183-66-4P 327183-67-5P
327183-68-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)

RN 327183-27-7 CAPLUS
CN Carbamic acid, [(1S)-2-(7,8-dihydro-1H-furo[2,3-g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

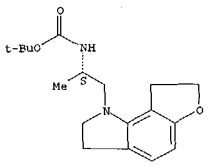
Absolute stereochemistry.



RN 327183-28-8 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

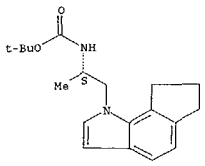
Absolute stereochemistry.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



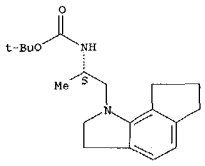
RN 327183-62-0 CAPLUS
CN Carbamic acid, [(1S)-2-(7,8-dihydrocyclopent[g]indol-1(6H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



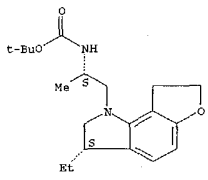
RN 327183-63-1 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(3,6,7,8-tetrahydrocyclopent[g]indol-1(2H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-66-4 CAPLUS

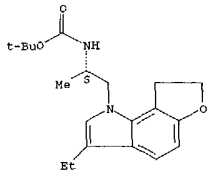
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

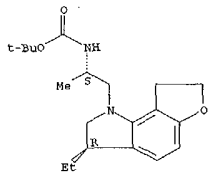
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Carbamic acid, [(1S)-2-(3-ethyl-7,8-dihydro-1H-furo[2,3-g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-67-5 CAPLUS
CN Carbamic acid, [(1S)-2-[(3R)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

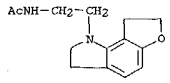
Absolute stereochemistry.



RN 327183-68-6 CAPLUS
CN Carbamic acid, [(1S)-2-[(3S)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

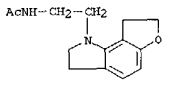
L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:183599 CAPLUS
DOCUMENT NUMBER: 132:289039
TITLE: Pharmacological characterization of human recombinant melatonin mt1 and MT2 receptors
AUTHOR(S): Browning, Christopher; Beresford, Isabel; Fraser, Neil; Giles, Heather
CORPORATE SOURCE: Receptor Pharmacology Glaxo Wellcome Medicines Research Centre, Stevenage, SG1 2NY, UK
SOURCE: British Journal of Pharmacology (2000), 129(5), 877-886
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors have pharmacol. characterized recombinant human mt1 and MT2 receptors, stably expressed in Chinese hamster ovary cells (CHO-mt1 and CHO-MT2), by measurement of [3H]-melatonin binding and forskolin-stimulated cAMP prodn. [3H]-melatonin bound to mt1 and MT2 receptors with pKD values of 9.89 and 9.56 and Bmax values of 1.20 and 0.82 pmol mg-1 protein, resp. While most melatonin receptor agonists had similar affinities for mt1 and MT2 receptors, a no. of putative antagonists had substantially higher affinities for MT2 receptors, including luzindole (11-fold), GR128107 (23-fold) and 4-P-PDOT (61-fold). In both CHO-mt1 and CHO-MT2 cells, melatonin inhibited forskolin-stimulated accumulation of cAMP in a concn.-dependent manner (pIC50 9.53 and 9.74, resp.) causing 83 and 64% inhibition of cAMP prodn. at 100 nM, resp. The potencies of a range of melatonin receptor agonists were detd. At MT2 receptors, melatonin, 2-iodomelatonin and 6-chloromelatonin were essentially equipotent, while at the mt1 receptor these agonists gave the rank order of potency of 2-iodomelatonin > melatonin > 6-chloromelatonin. In both CHO-mt1 and CHO-MT2 cells, melatonin-induced inhibition of forskolin-stimulated cAMP prodn. was antagonized in a concn.-dependent manner by the melatonin receptor antagonist luzindole, with pA2 values of 5.75 and 7.64, resp. Melatonin-mediated responses were abolished by pre-treatment of cells with pertussis toxin, consistent with activation of Gi/Go G-proteins. This is the first report of the use of [3H]-melatonin for the characterization of recombinant mt1 and MT2 receptors. The authors' results demonstrate that these receptor subtypes have distinct pharmacol. profiles.
IT 170729-12-1, GR196429
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacol. characterization of human recombinant melatonin mt1 and MT2 receptors)
RN 170729-12-1 CAPLUS
CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

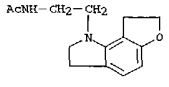
L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:765097 CAPLUS
DOCUMENT NUMBER: 132:31090
TITLE: Novel non-indolic melatonin receptor agonists differentially entrain endogenous melatonin rhythm and increase its amplitude
AUTHOR(S): Drijfhout, Willem J.; De Vries, Jan B.; Homan, Evert J.; Brons, Helsen F.; Copinga, Swier; Gruppen, Gert; Beresford, Isabel J. M.; Hagan, Russell M.; Grol, Cor J.; Westerink, Ben H. C.
CORPORATE SOURCE: University Centre for Pharmacy, Department of Medicinal Chemistry, University of Groningen, Groningen, 9713, Neth.
SOURCE: European Journal of Pharmacology (1999), 382(3), 157-166
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In this study the authors have examd. the ability of melatonin and four synthetic melatonin receptor agonists to entrain endogenous melatonin secretion in rats, free running in const. darkness. The circadian melatonin profile was measured by transpineal microdialysis, which not only reveals the time of onset and end of prodn. (phase), but also the amplitude of the rhythm. Exogenous melatonin given at the onset of subjective darkness (clock time 12 h) was effective to entrain endogenous melatonin prodn. Only one agonist, 2-chloroacetamido-8-methoxytetralin (AH-017), mimicked this action. Two other agonists, 4-methoxy-2-(methylene propylamide)indan (GG-012) and N-[2-[2,3,7,8-tetrahydro-1H-furo(2,3-g)indol-1-yl]ethyl]acetamide (GR196429), induced a phase-delay under free running conditions, possibly by increasing tau (.tau.) period. One agonist, 2-acetamido-8-methoxytetralin (AH-001) did not show any phase effect on the free running rhythm. Unexpectedly, all melatonin receptor agonists increased the amplitude of melatonin secretion. The amt. of the increase varied from just below the level of significance (AH-001) to an approx. 2-fold increase (GG-012 and GR196429). This is in clear contrast to entrainment with melatonin, which significantly decreased the amplitude. It is hypothesized that entrainment and effects on amplitude of melatonin secretion are mediated by different mechanisms which can be differentially modulated using specific ligands.
IT **170729-12-1**, GR196429
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(non-indolic melatonin receptor agonists differentially entrain endogenous melatonin rhythm and increase amplitude)
RN 170729-12-1 CAPLUS
CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

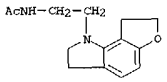
L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:727253 CAPLUS
DOCUMENT NUMBER: 130:47746
TITLE: Pharmacological characterization of melatonin mt1 receptor-mediated stimulation of [35S]-GTP.gamma.S binding
AUTHOR(S): Beresford, Isabel J. M.; Harvey, Fiona J.; Hall, David A.; Giles, Heather
CORPORATE SOURCE: Receptor Pharmacology, Glaxo Wellcome Medicines Research Centre, Stevenage, SG1 2NY, UK
SOURCE: Biochemical Pharmacology (1998), 56(9), 1167-1174
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The activation of G-proteins by melatonin mt1 receptors was studied by measuring [35S]-guanosine-5'-(3-thiotriphosphate) ([35S]-GTP.gamma.S) binding to membranes prepd. from Chinese hamster ovary (CHO) cells stably expressing human mt1 receptors. Melatonin stimulated [35S]-GTP.gamma.S binding in a concn.-dependent manner (pEC50, 8.77.+-.0.02). The optimal (212.+-.4%) increase over basal levels of binding (basal = 100%) was obsd. following incubation of membranes (12.5 .mu.g protein/well) for 120 min at 30.degree. with [35S]-GTP.gamma.S (0.1 nM), in the presence of GDP (10 .mu.M), NaCl (100 mM), and MgCl2 (10 mM). Melatonin analogs stimulated [35S]-GTP.gamma.S binding with a rank order (2-iodomelatonin > melatonin = S20098 > GR196429>6-chloromelatonin = 6-hydroxymelatonin .mchgt. N-acetylserotonin .gtoreq. GR135531 = mt1 luzindole = 5-HT = 0), which was identical to their affinities for the high affinity state of the receptor (correlation coeff. 0.94). All agonists evoked similar max. increases in [35S]-GTP.gamma.S binding. EC50 values were 14- to 63-fold lower than binding affinities. The melatonin receptor antagonist luzindole (0.1-10 .mu.M) evoked a parallel rightward shift in the melatonin concn.-response curve, with a pKB of 7.19.+-.0.13, which is similar to its affinity in radioligand binding studies for human mt1 receptors. Stimulation of [35S]-GTP.gamma.S binding was abolished by pretreatment of cells with pertussis toxin (18 h, 100 ng/mL) prior to prepn. of membranes. Melatonin was without effect in CHO cells which lacked the mt1 receptor. Thus, melatonin and melatonin analogs stimulate [35S]-GTP.gamma.S binding with a profile which is consistent with binding to mt1 receptors causing activation of Gi/Go G-proteins.
IT **170729-12-1**, GR196429
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(melatonin and melatonin analogs stimulate [35S]-GTP.gamma.S binding with a profile which is consistent with binding to mt1 receptors causing activation of Gi/Go G-proteins)
RN 170729-12-1 CAPLUS
CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



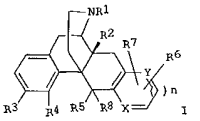
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:394595 CAPLUS
DOCUMENT NUMBER: 129:117807
TITLE: GR196429: a nonindolic agonist at high-affinity melatonin receptors
AUTHOR(S): Beresford, Isabel J. M.; Browning, Christopher; Starkey, Sarah J.; Brown, Jason; Foord, Steven M.; Coughlan, Josephine; North, Peter C.; Dubocovich, Margarita L.; Hagan, Russell M.
CORPORATE SOURCE: Medicines Research Centre, Glaxo Wellcome Research and Development, Ltd., Hertfordshire, UK
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1998), 285(3), 1239-1245
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N-[2-[2,3,7,8-tetrahydro-1H-furo(2,3-g)indol-1-yl]ethyl]acetamide (GR196429) is a novel, nonindolic melatonin receptor agonist. GR196429 had high affinity for human mt1 (pKi 9.9) and MT2 (pKi 9.8) receptors expressed in Chinese hamster ovary cells and for 2-[125I]-iodomelatonin binding sites in human cerebellum, guinea pig superior colliculus and hypothalamus and chicken retina and tectum (pKi 8.8-9.5). GR196429 was inactive at a wide range of other hormone and neurotransmitter receptors. In Chinese hamster ovary cells expressing human mt1 or MT2 receptors, both melatonin and GR196429 dose-dependently inhibited forskolin-stimulated cAMP accumulation. In rabbit isolated retina, GR196429 inhibited calcium-dependent [3H]-dopamine release with potency (IC50 30 pM) and max. effect (76.+-.5% at 1 nM) similar to those of melatonin. The response was antagonized by the melatonin receptor antagonist luzindole (1 .mu.M). In slices of rat brain suprachiasmatic nucleus, perfusion (1 h) with GR196429 at zeitgeber time 10 phase advanced the circadian peak in neuronal activity measured on the following day, with a max. phase advance of 2.7.+-.0.3 h at 10 pM and an EC50 of 0.6 pM, results that indicated a melatonin-like action on the phase of the circadian clock. CNS penetration and duration of receptor occupancy was detd. in an ex vivo radioligand binding assay. In membranes of guinea pig superior colliculus prepd. 30 min after administration of GR196429 (s.c.), 2-[125I]-iodomelatonin binding was inhibited with an ED50 of 0.04 mg/kg. After a dose of 1 mg/kg, binding was significantly inhibited for at least 3 h. Thus GR196429 is a potent and selective agonist at high-affinity melatonin receptors, which modulates circadian rhythms in an in vitro model of the circadian clock and which readily penetrates the CNS.
IT **170729-12-1**, GR196429
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(GR196429 as a nonindolic agonist at high-affinity melatonin receptors)
RN 170729-12-1 CAPLUS
CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

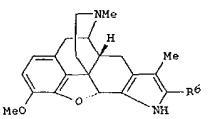
L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:549379 CAPLUS
DOCUMENT NUMBER: 127:162011
TITLE: Preparation of heterocycle-condensed morphinoid derivatives for use as analgesics
INVENTOR(S): Dondio, Giulio; Ronzoni, Silvano; Gatti, Pier Andrea; Graziani, Davide
PATENT ASSIGNEE(S): Smithkline Beecham S.P.A., Italy; Dondio, Giulio; Ronzoni, Silvano; Gatti, Pier Andrea; Graziani, Davide
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725331	A1	19970717	WO 1997-EP120	19970108
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2242609	AA	19970717	CA 1997-2242609	19970108
AU 9714410	A1	19970801	AU 1997-14410	19970108
AU 706370	B2	19990617		
EP 880526	A1	19981202	EP 1997-901009	19970108
EP 880526	B1	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1213372	A	19990407	CN 1997-192879	19970108
CN 1090190	B	20020904		
BR 9707136	A	19990831	BR 1997-7136	19970108
NZ 326331	A	20000128	NZ 1997-326331	19970108
JP 2000503019	T2	20000314	JP 1997-524871	19970108
AT 229958	E	20030115	AT 1997-901009	19970108
ES 2188888	T3	20030701	ES 1997-901009	19970108
ZA 9700172	A	19980709	ZA 1997-172	19970109
NO 9803169	A	19980909	NO 1998-3169	19980709
US 6365594	B1	20020402	US 1999-101213	19990222

PRIORITY APPLN. INFO.: IT 1996-MI29 A 19960110
IT 1996-MI2291 A 19961105
WO 1997-EP120 W 19970108
OTHER SOURCE(S): MARPAT 127:162011
GI



I



II

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

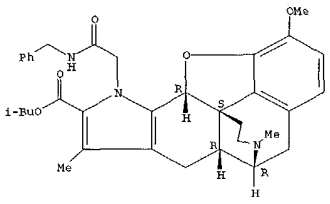
AB Substituted mono heterocycle-condensed morphinoid derivs. I [R1 = H, alkyl, cycloalkyl, alkenyl, aryl, aralkyl; R2 = H, OH, alkoxy, halogen, NO2, amino, SH; R3 = H, alkyl, OH, alkoxy, halogen; R4 = R5 = H, OH, alkoxy, OPh; or R4R5 = O; R6 = carboxamide, acyl, thioacyl, carboxyl; R7 = H, alkyl, alkenyl, halogen; R8 = H, alkyl; X = Y = CH, O, S, NR1; n = 0, 1], potent and selective delta opioid agonists and antagonists, were prepd for use as analgesics and for treating pathol. conditions which, customarily, can be treated with agonists and antagonists of the delta opioid receptor. Thus, morphinoid II [R6 = CON(CHMe2)CH2Ph] was prepd. by cyclization of 7,8-dihydrocodeinone and N-benzyl-N-isopropyl-2-phenylhydrazone. The morphinoid compds. showed affinities for the delta receptor ranging from 0.5 to 200 nM with delta selectivity ranging from 20 - 1500 times with respect to other opioid receptor types.

IT **193613-38-6P 193613-46-6P 193613-47-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocycle-condensed morphinoid derivs., potent and selective delta opioid agonists and antagonists, for analgesic and other pharmacol. uses)

RN 193613-38-6 CAPLUS

CN 4,8-Methanobenzofuro[3,2-e]pyrrolo[2,3-g]isoquinoline-11-carboxylic acid, 5,6,7,8,8a,9,12,12b-octahydro-1-methoxy-7,10-dimethyl-12-[2-oxo-2-[(phenylmethyl)amino]ethyl]-, 2-methylpropyl ester, monohydrochloride, [8R-(4bS*,8.alpha.,8a.beta.,12b.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



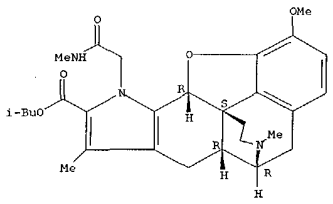
● HCl

RN 193613-46-6 CAPLUS

CN 4,8-Methanobenzofuro[3,2-e]pyrrolo[2,3-g]isoquinoline-11-carboxylic acid, 5,6,7,8,8a,9,12,12b-octahydro-1-methoxy-7,10-dimethyl-12-[2-(methylamino)-2-oxoethyl]-, 2-methylpropyl ester, monohydrochloride, [8R-(4bS*,8.alpha.,8a.beta.,12b.beta.)]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry. Rotation (-).

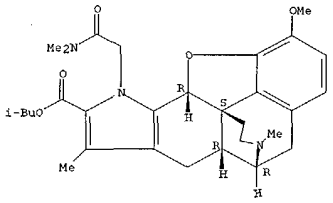


● HCl

RN 193613-47-7 CAPLUS

CN 4,8-Methanobenzofuro[3,2-e]pyrrolo[2,3-g]isoquinoline-11-carboxylic acid, 12-[2-(dimethylamino)-2-oxoethyl]-5,6,7,8,8a,9,12,12b-octahydro-1-methoxy-7,10-dimethyl-, 2-methylpropyl ester, monohydrochloride, [8R-(4bS*,8.alpha.,8a.beta.,12b.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:220137 CAPLUS

DOCUMENT NUMBER: 127:1057

TITLE: Melatonin receptor antagonists that differentiate between the human Mella and Mellb recombinant subtypes are used to assess the pharmacological profile of the rabbit retina ML1 presynaptic heteroreceptor

AUTHOR(S): Dubocovich, Margarita L.; Masana, Monica I.; Iacob, Stanca; Sauri, Daniel M.

CORPORATE SOURCE: Med. Sch., Northwestern University Chicago, Chicago, IL, 60611, USA

SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1997), 355(3), 365-375
CODEN: NSAPCC; ISSN: 0028-1298

PUBLISHER: Springer

DOCUMENT TYPE: Journal

LANGUAGE: English

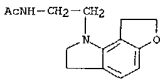
AB Subtype-selective agonists, partial agonists, and antagonists which distinguish the human recombinant Mella and Mellb melatonin receptors expressed in COS-7 cells were identified. Melatonin receptor agonists showed higher affinity for competition of 2-[125I]-iodomelatonin binding for the Mellb than the Mella melatonin receptor. The dissocn. consts. (Ki) of 16 agonists detd. on the recombinant human Mella and Mellb melatonin receptor subtypes showed a correlation. Six agonists showed 10-60-fold higher affinity for the Mellb melatonin receptor as indicated by the affinity selectivity ratios (Mella/Mellb). Dissocn. consts. for competition of 11 partial agonists and antagonists for 2-[125I]-iodomelatonin binding were 15.5-362-fold higher for the Mellb than for the Mella melatonin receptor. The lack of correlation between the pKi values strongly suggest that the 2 human melatonin receptor subtypes can be distinguished pharmacol. The partial agonist 5-methoxyluzindole and the competitive melatonin receptor antagonists GR128107, 4-phenyl-2-chloroacetamidotetraline, 4-phenyl-2-acetamidotetraline, and 4-phenyl-2-propionamidotetraline are selective Mellb melatonin receptor analogs as their affinity selectivity ratios (Mella/Mellb) are >100. It is concluded that the 40% overall amino acid difference in the sequence of the human recombinant Mella and Mellb melatonin receptors is reflected in distinct pharmacol. profiles for the subtypes. The pharmacol. profile of the presynaptic ML1 melatonin heteroreceptor of rabbit retina mediating inhibition of the Ca-dependent release of dopamine was compared to that of the recombinant Mella and Mellb melatonin receptors. Melatonin inhibited [3H]dopamine release by 50% (IC50) at 20 pM with a maximal inhibitory effect (80%) at 1 nM. The partial agonists showed various degrees of efficacy while none of the competitive melatonin receptor antagonists did inhibit [3H]dopamine release on their own. The potency (IC50) of full melatonin receptor agonists correlated with their affinity to compete for 2-[125I]-iodomelatonin binding to either the Mella or Mellb human melatonin receptors. The apparent dissocn. consts. (KB) for partial agonists and antagonists to antagonize the inhibition of [3H]dopamine release mediated by activation of the ML1 heteroreceptor by melatonin, correlated with the affinity consts. (Ki) for 2-[125I]-iodomelatonin binding detd. on the Mellb but not the Mella subtype. These results demonstrate that the pharmacol. profile of the human recombinant Mellb melatonin receptor is similar to that of the functional presynaptic melatonin heteroreceptor of rabbit retina, which is referred as an ML1 subtype. It is concluded that the selective Mellb melatonin partial agonists and antagonists described here can be used to identify melatonin receptor subtypes in native tissues and to search for subtype selective analogs with therapeutic potential.

IT **170729-12-1**, GR 196429

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacol. profile of rabbit retina ML1 presynaptic heteroreceptor by melatonin receptor antagonists distinguishing human recombinant Mella and Mellb subtypes)

RN 170729-12-1 CAPLUS

CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



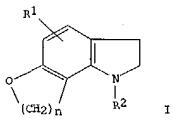
L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:943453 CAPLUS
DOCUMENT NUMBER: 123:340087
TITLE: Preparation of indolines which are melatonin receptor agonists and antagonists
INVENTOR(S): North, Peter Charles; Carter, Malcolm Clive
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9517405	A1	19950629	WO 1994-EP4220	19941220
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9410056	A	19951018	ZA 1994-10056	19941219
CA 2179402	AA	19950629	CA 1994-2179402	19941220
AU 9512743	A1	19950710	AU 1995-12743	19941220
AU 684877	B2	19980108		
EP 736028	A1	19961009	EP 1995-903817	19941220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
IL 112097	A1	19980615	IL 1994-112097	19941221
US 5633276	A	19970527	US 1996-652460	19960614

PRIORITY APPLN. INFO.: GB 1993-26192 19931222
WO 1994-EP4220 19941220

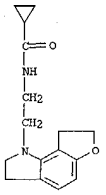
OTHER SOURCE(S): MARPAT 123:340087
GI



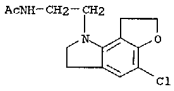
I

AB The title compds. [I; R1 = H, halogen, C1-6 alkyl; R2 = CR3R4(CH2)pNR5COR6; R3-R5 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-7 cycloalkyl; p = 1-4; n = 2-4], useful as melatonin receptor agonists and antagonists in the treatment of conditions assocd. with a disturbed functioning of the melatonin system [i.e., jet lag (no data), osteoporosis (no data), CNS disorders (no data), etc. (no data)], are prepd. and I-contg. formulations presented. Thus, 2-(5-chloro-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethylamine was amidated with Ac2O, producing

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

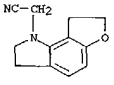


RN 170729-15-4 CAPLUS
CN Acetamide, N-[2-(5-chloro-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

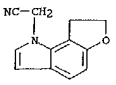


IT **170728-97-9P 170728-98-0P 170728-99-1P 170729-08-5P 170729-09-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indolines which are melatonin receptor agonists and antagonists)

RN 170728-97-9 CAPLUS
CN 1H-Furo[2,3-g]indole-1-acetonitrile, 2,3,7,8-tetrahydro- (9CI) (CA INDEX NAME)



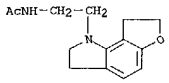
RN 170728-98-0 CAPLUS
CN 1H-Furo[2,3-g]indole-1-acetonitrile, 7,8-dihydro- (9CI) (CA INDEX NAME)



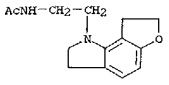
L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
N-[2-(5-chloro-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]acetamide, m.p. 147-149.degree., which demonstrated a IC50 against the binding of melatonin to rabbit retina of 0.004 nM.

IT **170729-12-1P 170729-13-2P 170729-14-3P 170729-15-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolines which are melatonin receptor agonists and antagonists)

RN 170729-12-1 CAPLUS
CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 170729-13-2 CAPLUS
CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

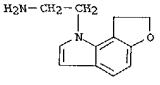


● HCl

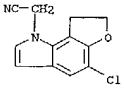
RN 170729-14-3 CAPLUS
CN Cyclopropanecarboxamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

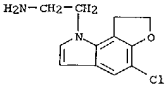
RN 170728-99-1 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 7,8-dihydro- (9CI) (CA INDEX NAME)



RN 170729-08-5 CAPLUS
CN 1H-Furo[2,3-g]indole-1-acetonitrile, 5-chloro-7,8-dihydro- (9CI) (CA INDEX NAME)



RN 170729-09-6 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 5-chloro-7,8-dihydro- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

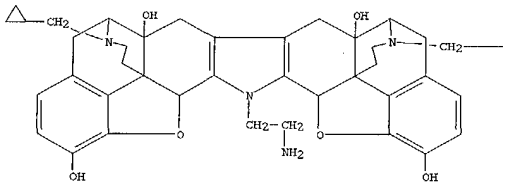
ACCESSION NUMBER: 1990:420280 CAPLUS
DOCUMENT NUMBER: 113:20280
TITLE: Isolation of kappa opioid receptor with an aminoethyl-nor-binaltorphimine (AE-norBNI) affinity column
AUTHOR(S): Song, Z. H.; Barbas, D. P.; Portoghese, P. S.; Takemori, A. E.
CORPORATE SOURCE: Dep. Pharmacol., Univ. Minnesota, Minneapolis, MN, 55455, USA
SOURCE: Progress in Clinical and Biological Research (1990), 328(Int. Narc. Res. Conf. (INRC) '89), 69-72
CODEN: PCBRD2; ISSN: 0361-7742
DOCUMENT TYPE: Journal
LANGUAGE: English

AB .kappa.-Opioid receptors were isolated from guinea pig brains by affinity chromatog. on a column of aminoethylnorbinaltorphimine (AE-norBN1) coupled to activated agarose gel. The affinity column was specific for the .kappa.-opioid receptors in brain P2 fractions (which contain all 3 types of opioid binding, i.e., .mu., .kappa., and .delta.). Both satn. and displacement binding studies suggested that only .kappa. opioid receptor was isolated by this affinity column.

IT **127808-82-6DP**, reaction products with agarose gel
RL: PREP (Preparation)
(kappa opioid receptors isolation from brain by affinity chromatog. on column of)

RN 127808-82-6 CAPLUS
CN 4,8:11,15-Dimethano-20H-bisbenzofuro[2,3-a:3',2'-i]dipyrido[4,3-b:3',4'-h]carbazole-1,8a,10a,18-tetrol, 20-(2-aminoethyl)-7,12-bis(cyclopropylmethyl)-5,6,7,8,9,10,11,12,13,14,19a,20b-dodecahydro-, [8R-(4bS*,8.alpha.,8a.beta.,10a.alpha.,11.beta.,14aS*,19a.alpha.,20b.beta.)]- (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	54.85	203.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-7.81	-7.81

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